AMENDMENT TO THE CLAIMS

(Previously Presented) An acylated 1,2,3,4-tetrahydronaphthyl amine according to the general
formula (I) in any of its stereoisomeric forms or a mixture thereof in any ratio or a
pharmaceutically acceptable salt thereof

wherein

R1 and R4 are independently of each other chosen from:

H; unsubstituted and at least monosubstituted C₁-C₁₀-alkyl, C₂-C₁₀-alkenyl and C₂-C₁₀-alkynyl, the substituents of which are chosen from P, OH, C₁-C₈-alkoxy, (C₁-C₈-alkyl)mercapto, CN, COOR⁶, CONR⁷R⁸, and unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃; unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₂-alkyl, C₁-C₃-alkoxy and CF₃; R⁹CO; CONR¹⁰OR¹¹; COOR¹²; CF₃; halogens; pseudohalogens; NR¹³R¹⁴; OR¹⁵; S(O)_mR¹⁶; SO₂NR¹⁷R¹⁸; and NO₂;

R₂ and R₃ are independently of each other chosen from:

H; halogens; pseudohalogens; unsubstituted and at least monosubstituted C₁-C₁₀-alkyl the substituents of which are chosen from OH, phenyl, and heteroaryl; OH; C₁-C₁₀-alkoxy; phenoxy; S(O)_mR¹⁹; CF₃; CN; NO₂; (C₁-C₁₀-alkyl)amino; di(C₁-C₁₀-alkyl)amino; (C₁-C₆-alkyl)-CONH-; unsubstituted and at least monosubstituted phenyl-CONH- and phenyl-SO₂-O-, the substituents of which are chosen from halogens, pseudohalogens, CH₃ and methoxy; (C₁-C₆-alkyl)SO₂-O-; unsubstituted and at least monosubstituted (C₁-C₆-alkyl)CO, the substituents of which are chosen

Page 2 of 70 Docket No: DEAV2001/0005 US NP from F, di(C_1 - C_3 -alkyl)amino, pyrrolidinyl and piperidinyl; and phenyl-CO, the phenyl part of which can be substituted by one or more substituents chosen from C_1 - C_3 -alkyl, halogens and methoxy;

A is chosen from CH₂, CHOH and CH-(C₁-C₃-alkyl);

B is chosen from CH₂ and CH-(C₁-C₃-alkyl);

C independently has the same meaning as B;

R⁵ is a group Hetar which can be unsubstituted or carry one or more substituents chosen from: halogens; pseudohalogens; NH2; unsubstituted and at least monosubstituted C1-C10-alkyl, C2-C10alkenyl, C2-C10-alkynyl, C1-C10-alkoxy, (C1-C10-alkyl)amino, and di(C1-C10-alkyl)amino, the substituents of which are chosen from F, OH, C1-C8-alkoxy, aryloxy, (C1-C8-alkyl)mercapto, NH₂, (C₁-C₈-alkyl)amino, and di(C₁-C₈-alkyl)amino; C₃-C₅-alkandiyl; phenyl; heteroaryl; arylsubstituted C1-C4-alkyl; heteroaryl-substituted C1-C4-alkyl; CF3; NO2; OH; phenoxy; benzyloxy; (C₁-C₁₀-alkyl)COO; S(O)_mR²⁰; SH; phenylamino; benzylamino; (C₁-C₁₀-alkyl)-CONH-; (C₁-C₁₀-alkyl)-CONH-; alkyl)-CON(C₁-C₄-alkyl)-; phenyl-CONH-; phenyl-CON(C₁-C₄-alkyl)-; heteroaryl-CONH-; heteroaryl-CON(C₁-C₄-alkyl)-; (C₁-C₁₀-alkyl)-CO; phenyl-CO; heteroaryl-CO; CF₃-CO; -OCH₂O-; -OCF₂O-; -OCH₂CH₂O-; -CH₂CH₂O-; COOR²¹; CONR²²R²³; CNH(NH₂); SO₂NR²²R²⁵; R²⁶SO₂NH-; R²⁷SO₂N(C₁-C₆-alkyl)-; and saturated and at least monounsaturated aliphatic, mononuclear 5- to 7-membered heterocycles containing 1 to 3 heteroatoms chosen from N, O, and S, which heterocycles can be substituted by one or more substituents chosen from halogens, C1-C3-alkyl, C1-C3-alkoxy, OH, oxo and CF3, and wherein said heterocycles can optionally be condensed to said group Hetar; and wherein all aryl, heteroaryl, phenyl, aryl-containing, heteroaryl-containing and phenyl-containing groups, which are optionally present in said substituents of said group Hetar, can be substituted by one or more substituents chosen from halogens, pseudohalogens, C1-C3-alkyl, OH, C1-C3-alkoxy, and CF3;

R⁶ is chosen from:

H; C_1 - C_{10} -alkyl, which can be substituted by one or more substituents chosen from F, C_1 - C_8 -alkoxy, and di(C_1 - C_8 -alkyl)amino; aryl-(C_1 - C_4 -alkyl) and heteroaryl-(C_1 - C_4 -alkyl), which can be substituted by one or more substituents chosen from halogens, C_1 - C_4 -alkoxy, and di(C_1 - C_6 -alkyl)amino;

R⁷ is chosen from:

H; C_1 - C_{10} -alkyl which can be substituted by one or more substituents, chosen from F, C_1 - C_8 -alkoxy, $di(C_1$ - C_8 -alkyl)amino and phenyl; phenyl; indanyl; and heteroaryl; and wherein each of the aforementioned aromatic groups can be unsubstituted or carry one or more substituents chosen from halogens, pseudohalogens, C_1 - C_3 -alkyl, C_1 - C_3 -alkoxy and CF_3 ;

R⁸ is H or C₁-C₁₀-alkyl;

 R^9 is chosen from: C_1 - C_{10} -alkyl which can be unsubstituted or carry one or more substituents chosen from F, $(C_1$ - C_4)-alkoxy, $di(C_1$ - C_3 -alkyl)amino; and unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from C_1 - C_3 -alkyl, C_1 - C_3 -alkoxy, halogens, pseudohalogens, and CF_3 :

R¹⁰ independently has the same meaning as R⁷;

R¹¹ independently has the same meaning as R⁸;

R¹² independently has the same meaning as R⁶;

R¹³ is chosen from H; C₁-C₆-alkyl; unsubstituted and substituted phenyl, benzyl, heteroaryl, (C₁-C₆-alkyl)-CO, phenyl-CO, and heteroaryl-CO, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy, and CP₃, and wherein one or more of these substituents can be present;

 R^{14} independently has the same meaning as R^{13} ;

R¹⁵ is chosen from H; C₁-C₁₀-alkyl; (C₁-C₃-alkoxy)-C₁-C₃-alkyl; and substituted and unsubstituted benzyl, phenyl and heteroaryl, the substituents of which are chosen from halogens,

pseudohalogens, C1-C3-alkyl, C1-C3-alkoxy, and CF3, and wherein one or more of these substituents can be present;

 R^{16} is chosen from C_1 - C_{10} -alkyl which can be substituted by one or more substituents chosen from P, OH, C1-C8-alkoxy, aryloxy, (C1-C8-alkyl)mercapto, (C1-C8-alkyl)amino and di(C1-C8-alkyl)amino and di(C1-C8-alkyl) alkyl)amino; CF3, and substituted and unsubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C1-C3-alkyl, C1-C3-alkoxy and CF3, and wherein one or more of these substitutents can be present;

R¹⁷ independently has the same meaning as R⁷;

R¹⁸ independently has the same meaning as R⁸;

R¹⁹ independently has the same meaning as R¹⁶;

R²⁰ independently has the same meaning as R¹⁶:

R 21 independently has the same meaning as R6,

R²² independently has the same meaning as R⁷;

R²³ independently has the same meaning as R⁸;

R²⁴ independently has the same meaning as R⁷:

R²⁵ independently has the same meaning as R⁸;

R 26 independently has the same meaning as R16;

R²⁷ independently has the same meaning as R¹⁶:

heteroaryl is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N, O, and S;

the group Hetar is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N, O, and S; aryl is phenyl, naphth-1-yl or naphth-2-yl;

m is 0, 1 or 2;

with the proviso that, where R1, R2, R3 and R4 are hydrogen or one of the substituents, R1 R2, R3

or R⁴ is C₁-C₆-alkoxy, R⁵ is not unsubstituted pyridyl or unsubstituted or substituted 4-oxoquinolinyl;

where one of the groups R^1 and R^2 is hydroxy and the other groups of R^1 , R^2 , R^3 , and R^4 are hydrogen, R^5 is not unsubstituted pyridyl; and where groups A, B, and C are each CH_2 , R^5 is not 5-nitrofuryl.

2. (Previously Presented) The acylated 1,2,3,4-tetrahydronaphthyl amine in any of its stereoisometric forms or a mixture thereof in any ratio or a pharmaceutically acceptable salt thereof according to claim 1, wherein in the formula (I)

R¹ is chosen from H; C₁-C₄-alkyl; C₁-C₄-alkoxy; CF₃; halogens; pseudohalogens; (C₁-C₄-alkyl)-S(O)_m-; and unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen fromhalogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃, and wherein heteroaryl is chosen from 5- and 6-membered heterocycles containing one or more heteroatoms chosen from N, O, and S;

R² and R³ are independently of each other chosen from:

H; halogens; pseudohalogens; and C₁-C₃-alkyl;

R4 independently has the same meaning as R1;

A is chosen from CH₂ and CHOH;

B and C are independently of each other chosen from CH2 and CH-CH3;

R⁵ is a group Hetar which can be unsubstituted or carry one or more substituents chosen from: halogens; CN; NH₂; unsubstituted and at least monosubstituted C₁-C₈-alkyl, C₂-C₈-alkenyl, C₂-C₈-alkynyl, C₁-C₆-alkoxy, (C₁-C₈-alkyl)amino, and di(C₁-C₈-alkyl)amino, the substituents of which are chosen from F, C₁-C₆-alkoxy, phenoxy, (C₁-C₆-alkyl)mercapto, NH₂, (C₁-C₆-alkyl)amino, and di(C₁-C₆-alkyl)amino; C₃-C₅-alkandiyl; phenyl; heteroaryl; phenyl-substituted C₁-C₂-alkyl; heteroaryl-substituted C₁-C₂-alkyl; CF₃; OH; phenoxy; benzyloxy; (C₁-C₆-

alkyl)COO; S(O)_m(C₁-C₆)-alkyl; S(O)_m-phenyl; S(O)_m-heteroaryl; SH; phenylamino; benzylamino; (C₁-C₆-alkyl)-CONH-; (C₁-C₆-alkyl)-CON(C₁-C₄-alkyl)-; phenyl-CONH-; phenyl- $CON(C_1-C_4-alkyl)$ -; heteroaryl-CONH-; heteroaryl-CON($C_1-C_4-alkyl)$ -; ($C_1-C_6-alkyl)$ -CO; phenyl-CO; heteroaryl-CO; CF₃-CO; -OCH₂O-; -OCF₂O-; -OCH₂CH₂O-; -CH₂CH₂O-; $COO(C_1-C_6-alkyl)$; $-CONH_2$; $-CONH(C_1-C_6-alkyl)$; $-CON(di(C_1-C_6-alkyl))$; $-CON(di(C_1-C_6-alkyl))$; $-CONH(NH_2)$; SO_2NH_2 ; $-SO_2NH(C_1-C_6-alkyl)$; $-SO_2NH(phenyl)$; $-SO_2N(di(C_1-C_6-alkyl))$; $(C_1-C_6-alkyl)SO_2NH_-$; $(C_1-C_6-alkyI)SO_2N(C_1-C_6-alkyl)-$; phenyl- SO_2NH- ; phenyl- $SO_2N(C_1-C_6-alkyl)-$; heteroaryl-SO₂NH-; heteroaryl-SO₂N(C₁-C₆-alkyl)-; and saturated and at least monounsaturated aliphatic, mononuclear 5- to 7-membered heterocycles containing 1 to 3 heteroatoms chosen from N, O, and S, which heterocycles can be substituted by one or more substituents chosen from halogens, C1-C3-alkyl, C1-C3-alkoxy, OH, oxo, and CF3, and wherein said heterocycles can optionally be condensed to said group Hetar; and wherein all heteroaryl, phenyl, heteroaryl-containing and phenyl-containing groups, which are optionally present in said substituents of said group Hetar, can be substituted by one or more substituents chosen from halogens, pseudohalogens, C1-C3alkyl, OH, C₁-C₃-alkoxy, and CF₃; heteroaryl is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more

heteroatoms chosen from N, O, and S;

the group Hetar is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N, O and S; and m is O or 2.

3. (Previously Presented) The acylated 1,2,3,4-tetrabydronaphthyl amine in any of its stereoisomeric forms or a mixture thereof in any ratio or a pharmaceutically acceptable salt thereof according to claim 1, wherein in the formula (I)

R¹ is H, halogen or C₁-C₄-alkyl;

Page 7 of 70 Docket No: DEAV200L/0005 US NP R² and R³ are each H;

R4 independently has the same meaning as R1;

A is CH₂;

R⁵ is a group Hetar which can be unsubstituted or carry one or more substituents chosen from: halogens; CN; NH₂; unsubstituted and at least monosubstituted C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₁-C₃-alkoxy, (C₁-C₄-alkyl)amino, and di(C₁-C₄-alkyl)amino, the substituents of which are chosen from F, C₁-C₃-alkoxy, (C₁-C₃-alkyl)mercapto, and NH₂; C₄-C₅-alkandiyl; phenyl; heteroaryl; phenyl-substituted C1-C2-alkyl; heteroaryl-substituted C1-C2-alkyl; CF3; OH; $(C_1-C_4-alkyl)COO$; $S(O)_m(C_1-C_4-alkyl)$; $(C_1-C_4-alkyl)-CONH-$; $(C_1-C_4-alkyl)-CON(C_1-C_4-alkyl)-$; (C₁-C₄-alkyl)-CO; phenyl-CO; heteroaryl-CO; CF₃-CO; -OCH₂O-; -OCF2O-; $-OCH_2CH_2O$ -; $-CH_2CH_2O$ -; $COO(C_1-C_6$ -alkyl); $-CONH_2$; $-CONH(C_1-C_4$ -alkyl); $-CONH_2$; $-CONH(C_1-C_4$ -alkyl); $-CONH_2$; $-CONH_2$ $CON(di(C_1-C_4-alkyl)); CNH(NH_2); -SO_2NH_2; -SO_2NH(C_1-C_4-alkyl); -SO_2NH(phenyl); SO_2N(di(C_1-C_4-alkyl)); (C_1-C_4-alkyl)SO_2NH-; (C_1-C_4-alkyl)SO_2N(C_1-C_4-alkyl)-;$ and saturated and at least monounsaturated aliphatic, mononuclear 5- to 7-membered heterocycles containing 1 to 3 heteroatoms chosen from N, O, and S, which heterocycles can be substituted by one or more substituents chosen from halogens, C1-C3-alkyl, C1-C3-alkoxy, OH, oxo and CF3, and wherein said heterocycles can optionally be condensed to said group Hetar; and wherein all heteroaryl, phenyl., heteroaryl-containing and phenyl-containing groups, which are optionally present in said substituents of said group Hetar, can be substituted by one or more substituents chosen from halogens, pseudohalogens, C1-C3-alkyl, OH, C1-C3-alkoxy, and CF3;

heteroaryl is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one, two or three heteroatoms chosen from N, O, and S;

the group Hetar is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one, two or three heteroatoms chosen from N, O, and S; and m is 0 or 2.

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Page 8 of 70 Docket No: DEAV2001/0005 US NP 4. (Previously Presented) The acylated 1,2,3,4-tetrahydronaphthyl amine in any of its stereoisomeric forms or a mixture thereof in any ratio or a pharmaceutically acceptable salt thereof according to claim 1, wherein in the formula (I)

R1 is H, halogen or C1-C4-alkyl;

R² and R³ are each H;

R4 independently has the same meaning as R1;

A and B are each CH₂;

C is CH2 or CH-CH3;

R⁵ is a group Hetar which can be unsubstituted or carry one or more substituents chosen from: F; Cl; Br; C₁-C₃-alkyl; C₁-C₃-alkoxymethyl; 2-amino-3,3,3-trifluoro-propyl-; CF₃; C₃-C₅-alkandiyl; phenyl; heteroaryl; benzyl; heteroaryl-methyl; OH; C₁-C₃-alkoxy; phenoxy; trifluoromethoxy; 2,2,2-trifluoroethoxy; (C₁-C₄-alkyl)COO; (C₁-C₃-alkyl)mercapto; phenylmercapto; (C₁-C₃-alkyl)sulfonyl; phenylsulfonyl; NH₂; (C₁-C₄-alkyl)amino; di(C₁-C₄-alkyl)amino; (C₁-C₃-alkyl)-CONH-; (C₁-C₃-alkyl)-SO₂NH-; (C₁-C₃-alkyl)-CO; phenyl-CO; -OCH₂O-., -OCF₂O-; -CH₂CH₂O-; COO(C₁-C₄-alkyl); -CONH₂; -CONH(C₁-C₄-alkyl); -CON(di(C₁-C₄-alkyl)); CN; -SO₂NH₂; -SO₂NH(C₁-C₄-alkyl); -SO₂N(di(C₁-C₄-alkyl)); pyrrolidinyl; piperidinyl; morpholinyl; and thiomorpholinyl; and wherein all heteroaryl, phenyl, heteroaryl-containing and phenyl - containing groups, which are optionally present in said substituents of said group Hetar, can be substituted by one or more substituents chosen from halogens, pseudohalogens, C₁-C₃-alkyl, OH, C₁-C₃-alkoxy, and CF₃;

heteroaryl is chosen from: furyl, pyrrolyl, thienyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, pyrazolyl, imidazolyl, pyridazinyl, pyrazinyl, pyridyl, pyrimidinyl, benzoimidazolyl, benzothiazolyl, duinolinyl, isoquinolinyl, quinoxalinyl, quinazolyl, indolyl, benzofuranyl, benzothiophenyl, and indazolyl;

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the group Hetar is chosen from: furyl, pyrrolyl, thienyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, pyrazolyl, imidazolyl, pyridazinyl, pyrazinyl, pyridyl, pyrimidinyl, benzoimidazolyl, benzothiazolyl, duinolinyl, isoquinolinyl, quinoxalinyl, quinazolyl, indolyl, benzofuranyl, benzothiophenyl, and indazolyl.

(Previously Presented) An acylated 1,2,3,4-tetrahydronaphthyl amine according to the general formula (I) in any of its stereoisomeric forms or a mixture thereof in any ratio or a pharmaceutically acceptable salt thereof

$$R^2$$
 R^3
 R^4
 R^5
 R^5
 R^5
 R^5

wherein R1 is H, halogen or C1-C4-alkyl;

R² and R³ are each H:

R⁴ independently has the same meaning as R¹;

A and B are each CH2;

C is CH₂ or CH-CH₃;

R⁵ is chosen from: benzo[1,3]dioxol-5-yl, 2,2-difluoro-benzo[1,3]dioxol-5-yl, 2,3-dihydrobenzofuran-5-yl, 1-(4-chloro-phenyl)-5-trifluoromethyl-1H-pyrazole-4-yl, 1-(4-fluoro-phenyl)-3,5-dimethyl-1H-pyrazole-4-yl, 1H-benzotriazole-5-yl, 1H-indole-4-yl, 1H-indole-6-yl, 1-isopropyl-2-trifluoromethyl-1H-benzoimidazole-5-yl, 1-methyl-3-oxo-1,2,3,4-tetrahydro-quinoxaline-6-yl, 1-phenyl-5-trifluoromethyl-1H-pyrazole-4-yl, 2-(2-hydroxy-pyridin-4-yl)-1H-benzoimidazole-5-yl, 2-(4-cyano-phenyl)-1H-benzoimidazole-5-yl, 2,4-dimethyl-oxazole-5-yl, 2,4-dimethyl-pyrimidine-5-yl, 2,4-dimethyl-thiazole-5-yl, 2,5-dimethyl-1H-pyrrole-3-yl, 2,5-dimethyl-1-phenyl-1H-pyrrole-3-yl, 2,5-dimethyl-1-pyrrolyl, 2,5-

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dimethyl-2H-pyrazole-3-yl, 2,6-dichloro-pyrid-3-yl, 2,6-dimethylpyrid-3-yl, 2-amino-4,6-dimethyl-pyrid-3-yl, 2-amino-6-chloro-pyrid-3-yl, 2-amino-pyrid-3-yl, 2chloro-6-methyl-pyrid-3-yl, 2-chloro-pyrid-4-yl, 2-cyclopropyl-4-methyl-thiazole-5-yl, 2dimethylamino-4-methyl-thiazole-5-yl, 2-dimethylamino-pyrid-4-yl, 2-ethyl-5-methyl-2Hpyrazole-3-yl, 2-hydroxy-6-methyl-pyrid-3-yl, 2-methyl-1H-benzoimidazole-5-yl, 2-methyl-3Hbenzoimidazole-5-yl, 2-methyl-pyrid-3-yl, 2-methyl-6-trifluoromethyl-pyrid-3-yl, 2-methylthiazole-5-yl, 2-morpholin-4-yl-pyridin-4-yl, 2-morpholin-4-yl-pyrimidine-5-yl, 2-pyrrolidin-1yl-pyridin-4-yl, 3,5-dimethyl-1H-pyrazole-4-yl, 3-amino-5,6-dimethyl-pyrazine-2-yl, 3-amino-5methyl-pyrazine-2-yl, 3-amino-pyrazine-2-yl, 3H-benzoimidazole-5-yl, 1H-benzoimidazole-5-yl, 3-methyl-isoxazole-4-yl, 4,6-dimethyl-pyrid-3-yl, 4-amino-2-ethylsulfanyl-pyrimidine-5-yl, 4amino-2-methyl-pyrimidine-5-yl, 4-methyl-thiazole-5-yl, pyridine-2-yl, pyridine-3-yl, pyridine-4yl, 5-thiophen-2-yl-pyrid-3-yl, 2-methyl-4-trifluoromethyl-thiazol-5-yl, 5,6,7,8-tetrahydroquinoline-3-yl, 5 -amino-1-phenyl-1H-pyrazole-4-yl, 5-methyl-1-phenyl-1H-pyrazole-4-yl, 5methyl-isoxazole-3-yl, 5-methyl-pyrid-3-yl, 5-methyl-pyrazine-2-yl, 6-chloro-pyrid-3-yl, 6cyano-pyrid-3-yl, 6-dimethylamino-pyrid-3-yl, 6-ethynyl-pyrid-3-yl, 6-methoxymethyl-pyrid-3yl, 6-methoxy-pyrid-3-yl, 6-methyl-2-methylamino-pyrid-3-yl, 6-methylamino-pyrazine-2-yl, 6methyl-pyrid-3-yl, 6-morpholin-4-yl-pyrid-3-yl, 6-pyrrolidin-1-yl-pyrid-3-yl, imidazo[1,2a]pyridine-2-yl, 6-trifluoromethyl-pyrid-3-yl, and pyrimidine-4-yl.

6. (Previously Presented) A method of stimulating the expression of endothelial NO-synthase in a mammal, which method comprises administering said mammal a physiologically active amount of a compound according to the general formula (I) in any of its stereoisomeric forms or a mixture thereof in any ratio or a pharmaceutically acceptable salt thereof

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wherein, in the formula (I),

R1 and R4 are independently from each other chosen from:

H; unsubstituted and at least monosubstituted C₁-C₁₀-alkyl, C₂-C₁₀-alkenyl and C₂-C₁₀-alkynyl, the substituents of which are chosen from F, OH, C₁-C₈-alkoxy, (C₁-C₈-alkyl)mercapto, CN, COOR⁶, CONR⁷R⁸, and unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃; unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃; R⁹CO; CONR¹⁰R¹¹; COOR¹²; CF₃; halogens; pseudohalogens; NR¹³R¹⁴; OR¹⁵; S(O)_mR₁₆; SO₂NR¹⁷R¹⁸; and NO₂;

R² and R³ are independently from each other chosen from:

H; halogens; pseudohalogens; unsubstituted and at least monosubstituted C_1 - C_{10} -alkyl the substituents of which are chosen from OH, phenyl, and heteroaryl; OH; C_1 - C_{10} -alkoxy; phenoxy; $S(O)_m R^{19}$; CF_3 ; CN; NO_2 ; $(C_1$ - C_{10} -alkyl)amino; $di(C_1$ - C_{10} -alkyl)amino; $(C_1$ - C_6 -alkyl)-CONH-; unsubstituted and at least monosubstituted phenyl-CONH- and phenyl-SO₂-O-, the substituents of which are chosen from halogens, pseudohalogens, CH_3 and methoxy; $(C_1$ - C_6 -alkyl)SO₂-O-; unsubstituted and at least monosubstituted $(C_1$ - C_6 -alkyl)CO, the substituents of which are chosen from F, $di(C_1$ - C_3 -alkyl)amino, pyrrolidinyl and piperidinyl; and phenyl-CO, the phenyl part of which can be substituted by one or more substituents chosen from C_1 - C_3 -alkyl, balogens and methoxy;

A is chosen from CH₂, CHOH and CH-(C₁-C₃-alkyl);

Page 12 of 70 Docket No: DEAV2001/0005 US NP B is chosen from CH_2 and CH_2 - $(C_1-C_3$ -alkyl);

C independently has the same meaning as B;

R⁵ is a group Ar or a group Hetar both of which can be unsubstituted or carry one or more substituents chosen from: halogens; pseudohalogens; NH2; unsubstituted and at least monosubstituted C₁-C₁₀-alkyl, C₂-C₁₀-alkenyl, C₂-C₁₀-alkynyl, C₁-C₁₀-alkoxy, (C₁-C₁₀alkyl)amino, and di(C₁-C₁₀-alkyl)amino, the substituents of which are chosen from F, OH, C₁-C₈alkoxy, aryloxy, (C1-C8-alkyl)mercapto, NH2, (C1-C8-alkyl)amino, and di(C1-C8-alkyl)amino; C3-C₅-alkandiyl; phenyl; heteroaryl; aryl- substituted C₁-C₄-alkyl; heteroaryl -substituted C₁-C₄alkyl; CF₃; NO₂; OH; phenoxy; benzyloxy; (C₁-C₁₀-alkyl)COO; S(O)_mR²⁰; SH; phenylamino; benzylamino; (C₁-C₁₀-alkyl)-CONH-; (C₁-C₁₀-alkyl)-CON(C₁-C₄-alkyl)-; phenyl-CONH-; phenyl-CON(C_1 - C_4 -alkyl)-; heteroaryl-CONH-; heteroaryl-CON(C_1 - C_4 -alkyl)-; (C_1 - C_{10} -alkyl)-CO; phenyl-CO; heteroaryl-CO; CF₃-CO; -OCH₂O-; -OCF₂O-; -OCH₂CH₂O-; -CH₂CH₂O-; $COOR^{21}$; $CONR^{22}R^{23}$; $CNH(NH_2)$; $SO_2NR^{24}R^{25}$; $R^{24}SO_2NH_{-}$; $R^{27}SO_2N(C_1-C_6-alkyl)_{-}$; and saturated and at least monounsaturated aliphatic, mononuclear 5- to 7-membered heterocycles containing 1 to 3 heteroatoms chosen from N, O, and S, which heterocycles can be substituted by one or more substituents chosen from halogens, C1-C3-alkyl, C1-C3-alkoxy, OH, oxo and CF3, and wherein said heterocycles can optionally be condensed to said group Ar or said group Hetar; and wherein all aryl, heteroaryl, phenyl, aryl-containing, heteroaryl-containing and phenyl-containing groups, which are optionally present in said substituents of said group Ar or said group Hetar, can be substituted by one or more substituents chosen from halogens, pseudohalogens, C₁-C₃-alkyl, OH, C_1 - C_3 -alkoxy, and CF_3 ;

R⁶ is chosen from:

H; C_1 - C_{10} -alkyl, which can be substituted by one or more substituents chosen from F, C_1 - C_8 -alkyl) amino; aryl- $(C_1$ - C_4 -alkyl) and heteroaryl- $(C_1$ - C_4 -alkyl), which can be

substituted by one or more substituents chosen from halogens, C₁-C₄-alkoxy, and di(C₁-C₆-alkyl)amino;

R⁷ is chosen from:

H; C_1 - C_{10} -alkyl which can be substituted by one or more substituents chosen from F, C_1 - C_8 -alkoxy, $di(C_1$ - C_8 -alkyl)amino and phenyl; phenyl; indanyl; and heteroaryl; and wherein each of the aforementioned aromatic groups can be unsubstituted or carry one or more substituents chosen from halogens, pseudohalogens, C_1 - C_3 -alkyl, C_1 - C_3 -alkoxy and CF_3 ;

R⁸ is H or C₁-C₁₀-alkyl;

 R^9 is chosen from: C_1 - C_{10} -alkyl which can be unsubstituted or carry one or more substituents chosen from: F, $(C_1$ - C_4)-alkoxy, $di(C_1$ - C_3 -alkyl)amino; and unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from C_1 - C_3 -alkyl, C_1 - C_3 -alkoxy, halogens, pseudohalogens, and CF_3 ;

R¹⁰ independently has the same meaning as R⁷;

R¹¹ independently has the same meaning as R⁸;

R¹² independently has the same meaning as R⁶;

R¹³ is chosen from: H; C₁-C₆-alkyl; unsubstituted and substituted phenyl, benzyl, heteroaryl, (C₁-C₆-alkyl)-CO, phenyl-CO, and heteroaryl-CO, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy, and CF₃, and wherein one or more of these substituents can be present;

R¹⁴ independently has the same meaning as R¹³;

R¹⁵ is chosen from: H; C₁-C₁₀-alkyl; (C₁-C₃-alkoxy)-C₁-C₃-alkyl; and substituted and unsubstituted benzyl, phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy, and CF₃, and wherein one or more of these substituents can be present;

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R¹⁶ is chosen from: C₁-C₁₀-alkyl which can be substituted by one or more substituents chosen from F, OH, C1-C8-alkoxy, aryloxy, (C1-C8-alkyl)mercapto, (C1-C8-alkyl)amino and di(C1-C8-alkyl)mercapto, (C1-C8-alkyl)amino and di(C1-C8-alkyl)mercapto). alkyl)amino; CF3; and substituted and unsubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃, and wherein one or more of these substitutents can be present; R¹⁷ independently has the same meaning as R⁷; R¹⁸ independently has the same meaning as R⁸; R¹⁹ independently has the same meaning as R¹⁶; R²⁰ independently has the same meaning as R¹⁶; R²¹ independently has the same meaning as R⁶: R²² independently has the same meaning as R⁷: R²³ independently has the same meaning as R⁸; R²⁴ independently has the same meaning as R⁷; R²⁵ independently has the same meaning as R⁸; R²⁶ independently has the same meaning as R¹⁶; R²⁷ independently has the same meaning as R¹⁶;

heteroaryl is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N, O, and S;

the group Hetar is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N, O, and S;

aryl is phenyl, naphth-1-yl or naphth-2-yl;

the group Ar is phenyl, naphth-1-yl or naphth-2-yl; and m is 0, 1 or 2.

7. (Previously Presented) The method according to claim 6, wherein in the formula (I)
R¹ is chosen from: H; C₁-C₄-alkyl; C₁-C₄-alkoxy; CF₃; halogens; pseudohalogens; (C₁-C₄-alkyl)-S(O)_m-; and unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃, and wherein heteroaryl is chosen from 5- and 6-membered heterocycles containing one or more heteroatoms chosen from N, Q, and S;

R² and R³ are independently from each other chosen from: H; halogens; pseudohalogens; and C₁-C₃-alkyl;

R⁴ independently has the same meaning as R¹;

A is chosen from CH2 and CHOH;

B and C are independently from each other chosen from CH2 and CH-CH3;

R⁵ is a group Ar or a group Hetar both of which can be unsubstituted or carry one or more substituents chosen from: halogens; CN; NH₂; unsubstituted and at least monosubstituted C₁-C₈-alkyl, C₂-C₈-alkenyl, C₂-C₃-alkynyl, C₁-C₆-alkoxy, (C₁-C₈-alkyl)amino, and di(C₁-C₅-alkyl)amino, the substituents of which are chosen from F, C₁-C₆-alkoxy, phenoxy, (C₁-C₆-alkyl)mercapto, NH₂, (C₁-C₆-alkyl)amino, and di(C₁-C₆-alkyl)amino; C₃-C₅-alkandiyl; phenyl; heteroaryl; phenyl-substituted C₁-C₂-alkyl; heteroaryl-substituted C₁-C₂-alkyl; CF₃; OH; phenoxy; benzyloxy; (C₁-C₆-alkyl)COO; S(O)_m(C₁-C₆)-alkyl; S(O)_m-phenyl; S(O)_m-heteroaryl; SH; phenylamino; benzylamino; (C₁-C₆-alkyl)-CONH-; (C₁-C₆-alkyl)-CON(C₁-C₄-alkyl)-; heteroaryl-CONH-; heteroaryl-CON(C₁-C₄-alkyl)-; (C₁-C₆-alkyl)-CO; phenyl-CO; heteroaryl-CO; CF₃-CO; -OCH₂O-; -OCF₂O-; -OCH₂CH₂O-; -CH₂CH₂O-; COO(C₁-C₆-alkyl); -CONH(C₁-C₆-alkyl); -CONH(C₁-C₆-alkyl)); CNH(NH₂); -SO₂NH₂; -SO₂NH(C₁-C₆-alkyl); -SO₂NH(phenyl); -SO₂N(di(C₁-C₆-alkyl)); (C₁-C₆-alkyl)-; heteroaryl-SO₂N(C₁-C₆-alkyl)-; phenyl-SO₂NH-; phenyl-SO₂N(C₁-C₆-alkyl)-; heteroaryl-SO₂N(C₁-C₆-alkyl)-; and saturated and at least monounsaturated

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aliphatic, mononuclear 5- to 7-membered heterocycles containing 1 to 3 heteroatoms chosen from N, O, and S, which heterocycles can be substituted by one or more substituents chosen from halogens, C₁-C₃-alkyl, C₁-C₃-alkoxy, OH, oxo and CF₃, and wherein said heterocycles can optionally be condensed to said group Ar or said group Hetar; and wherein all heteroaryl, phenyl, heteroaryl-containing and phenyl-containing groups, which are optionally present in said substituents of said group Ar or said group Hetar, can be substituted by one or more substituents chosen from halogens, pseudohalogens, C₁-C₃-alkyl, OH, C₁-C₃-alkoxy, and CF₃; heteroaryl is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N, O, and S; the group Hetar is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N, O, and S; the group Ar is phenyl, naphth-1-yl or naphth-2-yl; and m is 0 or 2.

(Previously Presented) The method according to claim 6, wherein in the formula (I)
 R¹ is H, halogen, or C₁-C₄-alkyl;

R² and R³ are each H:

R⁴ independently has the same meaning as R¹;

A is CH₂;

 R^5 is phenyl or a group Hetar both of which can be unsubstituted or carry one or more substituents chosen from: halogens; CN; NH₂; unsubstituted and at least monosubstituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_3 -alkoxy, $(C_1$ - C_4 -alkyl)amino, and $di(C_1$ - C_4 -alkyl)amino, the substituents of which are chosen from F, C_1 - C_3 -alkoxy, $(C_1$ - C_3 -alkyl)mercapto, and NH₂; C_3 - C_5 -alkandiyl; phenyl; heteroaryl; phenyl-substituted C_1 - C_2 -alkyl; heteroaryl-substituted C_1 - C_2 -alkyl; C_3 - C_5 -alkyl; C_5 - C_5 -alkyl)- C_5 - C_5 -alkyl; C_5 - C_5 -alkyl; C_5 - C_5 -alkyl)- C_5 - C_5 -alkyl)- C_5 - C_5 -alkyl; C_5 - C_5 -alkyl)- C_5 - C_5 -alkyl)- C_5 - C_5 -alkyl; C_5 - C_5 -alkyl)- C_5 - C_5 - C_5 -alkyl)- C_5 - C_5 -alkyl)- C_5 - C_5 - C_5 -alkyl)- C_5 - C_5 -C

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 $(C_1-C_4-alkyl)-CON(C_1-C_4-alkyl)-$; $(C_1-C_4-alkyl)-CO$; phenyl-CO; heteroaryl-CO; CF_3-CO ; -OCH₂O-; -OCF₂O-; -OCH₂CH₂O-; -CH₂CH₂O-; COO(C₁-C₆-alkyl); -CONH₂; -CONH(C₁-C₄alkyl); $-CON(di(C_1-C_4-alkyl))$; $CNH(NH_2)$; $-SO_2NH_2$; $-SO_2NH(C_1-C_4-alkyl)$; $-SO_2NH(phenyl)$; $-SO_2NH(C_1-C_4-alkyl)$; $-SO_2NH(phenyl)$; $-SO_2NH(phen$ $SO_2N(di(C_1-C_4-alkyl)); (C_1-C_4-alkyl)SO_2NH-; (C_1-C_4-alkyl)SO_2N(C_1-C_4-alkyl)-; and saturated$ and at least monounsaturated aliphatic, mononuclear 5- to 7-membered heterocycles containing 1 to 3 heteroatoms chosen from N, O, and S, which heterocycles can be substituted by one or more substituents chosen from halogens, C₁-C₃-alkyl, C₁-C₃-alkoxy, OH, oxo and CF₁, and wherein said heterocycles can optionally be condensed to said phenyl or said group Hetar; and wherein all heteroaryl, phenyl, heteroaryl-containing and phenyl-containing groups, which are optionally present in said substituents of said phenyl or said group Hetar, can be substituted by one or more substituents chosen from halogens, pseudohalogens, C₁-C₃-alkyl, OH, C₁-C₃-alkoxy, and CF₃; heteroaryl is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one, two or three heteroatoms chosen from N, O, and S; the group Hetar is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one. two or three heteroatoms chosen from N, O, and S; and m is 0 or 2.

9. (Previously Presented) The method according to claim 6, wherein in the formula (I)

R¹ is H, halogen, or C₁-C₄-alkyl;

R² and R³ are each H;

R⁴ independently has the same meaning as R¹;

A and B are each CH2;

C is CH₂ or CH-CH₃;

R⁵ is phenyl or a group Hetar both of which can be unsubstituted or carry one or more substituents chosen from: F; Cl; Br; C₁-C₃-alkyl; C₁-C₃-alkoxymethyl; 2-amino-3,3,3-trifluoro-

propyl-; CF₃; C₃-C₅-alkandiyl; phenyl; heteroaryl; benzyl; heteroaryl-methyl; OH; C₁-C₃-alkoxy; phenoxy; trifluoromethoxy; 2,2,2-trifluoroethoxy; (C₁-C₄-alkyl)COO; (C₁-C₄-alkyl)mercapto; phenylmercapto; (C1-C3-alkyl)sulfonyl; phenylsulfonyl; NH2; (C1-C4-alkyl)amino; di(C1-C4alkyl)amino; $(C_1-C_3-alkyl)-CONH-$; $(C_1-C_3-alkyl)-SO_2NH-$; $(C_1-C_3-alkyl)-CO$; phenyl-CO; - OCH_2O -; $-OCF_2O$ -; $-CH_2CH_2O$ -; $COO(C_1-C_4-alkyl)$; $-CONH_2$; $-CONH(C_1-C_4-alkyl)$; -C $CON(di(C_1-C_4-alky1)); CN; -SO_2NH_2; -SO_2NH(C_1-C_4-alky1); -SO_2N(di(C_1-C_4-alky1));$ pyrrolidinyl; piperidinyl; morpholinyl; and thiomorpholinyl; and wherein all heteroaryl, phenyl, heteroaryl-containing and phenyl-containing groups, which are optionally present in said substituents of said phenyl or said group Hetar, can be substituted by one or more substituents chosen from halogens, pseudohalogens, C₁-C₃-alkyl, OH, C₁-C₃-alkoxy, and CF₃; heteroaryl is chosen from: furyl, pyrrolyl, thienyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, pyrazolyl, imidazolyl, pyridazinyl, pyrazinyl, pyridyl, pyrimidinyl, benzoimidazolyl, benzothiazolyl, benzoxazolyl, quinolinyl, isoquinolinyl, quinoxalinyl, quinazolyl, indolyl, benzofuranyl, benzothiophenyl, and indazolyl; the group Hetar is chosen from: furyl, pyrrolyl, thienyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, pyrazolyl, imidazolyl, pyridazinyl, pyrazinyl, pyridyl, pyrimidinyl, benzoimidazolyl, benzothiazolyl, benzoxazolyl, quinolinyl, isoquinolinyl, quinoxalinyl, quinazolyl, indolyl, benzofuranyl, benzothiophenyl, and indazolyl.

(Previously Presented) The method according to claim 6, wherein in the formula (I)
 R¹ is H, halogen or C₁-C₄-alkyl;

R² and R³ are each H;

R4 independently has the same meaning as R1;

A and B are each CH₂;

C is CH₂ or CH-CH₃;

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R⁵ is chosen from: 4-fluorophenyl, 4-chlorophenyl, 4-bromophenyl, 4-(C₁-C₃-alkoxy)-phenyl, 4trifluoromethoxyphenyl, 2-bromo-4-fluorophenyl, 2-chloro-4-fluorophenyl, 3,4-dimethylphenyl, 2,4-dimethylphenyl, 4-chloro-2-methylphenyl, 2-hydroxy-4-methylphenyl, 2-hydroxy-4ethoxyphenyl, 2-methoxy-4-methylphenyl, 4-phenoxyphenyl, 3-fluoro-4-methylphenyl, benzo[1,3]dioxol-5-yl, 2,2-difluoro-benzo[1,3]dioxol-5-yl, 2,3-dihydrobenzofuran-5-yl, 1-(4chloro-phenyl)-5-trifluoromethyl-1H-pyrazole-4-yl, 1-(4-fluoro-phenyl)-3,5-dimethyl-1Hpyrazole-4-yl, 1H-benzotriazole-5-yl, 1H-indole-4-yl, 1H-indole-6-yl, 1-isopropyl-2trifluoromethyl- 1H-benzoimidazole-5-yl, 1-methyl-3-oxo-1,2,3,4-tetrahydro-quinoxaline-6-yl, 1phenyl-5-trifluoromethyl-1H-pyrazole-4-yl, 2-(2-hydroxy-pyridin-4-yl)-1H-benzoimidazole-5-yl, 2-(4-cyano-phonyl)- 1H-benzoimidazole-5-yl, 2,4-dimethyl-oxazole-5-yl, 2,4-dimethylpyrimidine-5-yl, 2,4-dimethyl-thiazole-5-yl, 2,5-dimethyl-1H-pyrrole-3-yl, 2,5-dimethyl-1phenyl-1H-pyrrole-3-yl, 2,5-dimethyl-1-pyridin-4-ylmethyl-1H-pyrrolyl, 2,5-dimethyl-2Hpyrazole-3-yl, 2,6-dichloro-pyrid-3-yl, 2,6-dimethoxy-pyrid-3-yl, 2,6-dimethyl-pyrid-3-yl, 2amino-4,6-dimethyl-pyrid-3-yl, 2-amino-6-chloro-pyrid-3-yl, 2-amino-pyrid-3-yl, 2-chloro-6methyl-pyrid-3-yl, 2-chloro-pyrid-4-yl, 2-cyclopropyl-4-methyl-thiazole-5-yl, 2-dimethylamino-4-methyl-thiazole-5-yl, 2-dimethylamino-pyrid-4-yl, 2-ethyl-5-methyl-2H-pyrazole-3-yl, 2hydroxy-6-methyl-pyrid-3-yl, 2-methyl-1H-benzoimidazole-5-yl, 2-methyl-3H-benzoimidazole-5-yl, 2-methyl-pyrid-3-yl, 2-methyl-6-trifluoromethyl-pyrid-3-yl, 2-methyl-thiazole-5-yl, 2morpholin-4-yl-pyridin-4-yl, 2-morpholin-4-yl-pyrimidine-5-yl, 2-pyrrolidin-1-yl-pyridin-4-yl, 3,5-dimethyl-1H-pyrazole-4-yl, 3 -amino- 5,6-dimethyl-pyrazine-2-yl, 3-amino-5-methylpyrazine-2-yl, 3-amino-pyrazine-2-yl, 3-dimethylamino-4-methyl-phenyl, 3-dimethylaminophenyl, 3H-benzoimidazole-5-yl, 1H-benzoimidazole-5-yl, 3-methanesulfonylamino-2-methylphenyl, 3-methanesulfonylamino-phenyl, 3-methyl-isoxazole-4-yl, 3-morpholin-4-yl-phenyl, 3piperidin-1-yl-phenyl, 3-pyrrolidin-1-yl-phenyl, 4-(2,2,2-trifluoro-ethoxy)-phenyl, 4,6-dimethylpyrid-3-yl, 4-amino-2-ethyl sulfanyl-pyrimidine-5-yl, 4-amino-2-methyl-pyrimidine-5-yl, 4-

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chloro-3-methanesulfonylamino-phenyl, 4-chloro-3-sulfamoyl-phenyl, 4-methyl-3-methylamino-

phenyl, 4-methyl-thiazole-5-yl, pyridine-2-yl, pyridine-3-yl, pyridine-4-yl, 5-thiophen-2-yl-pyrid-

3-yl, 2-methyl-4-trifluoromethyl-thiazol-5-yl, 5,6,7,8-tetrahydro-quinoline-3-yl, 5-amino-1-

phenyl-1H-pyrazole-4-yl, 5-methanesulfonyl-2-methyl-phenyl, 5-methyl-1-phenyl-1H-pyrazole-

4-yl, 5-methyl-isoxazole-3-yl, 5-methyl-pyrid-3-yl, 5-methyl-pyrazine-2-yl, 6-chloro-pyrid-3-yl,

6-cyano-pyrid-3-yl, 6-dimethylamino-pyrid-3-yl, 6-ethynyl-pyrid-3-yl, 6-methoxymethyl-pyrid-

3-yl, 6-methoxy-pyrid-3-yl, 6-methyl-2-methylamino-pyrid-3-yl, 6-methylamino-pyrazine-2-yl,

6-methyl-pyrid-3-yl, 6-morpholin-4-yl-pyrid-3-yl, 6-pyrrolidin-1-yl-pyrid-3-yl, imidazo[1,2-

a]pyridine-2-yl, 6-trifluoromethyl-pyrid-3-yl, and pyrimidine-4-yl.

11. (Original) The method according to claim 6, wherein the mammal is a human.

12-17. (Cancelled)

18. (Original) A pharmaceutical preparation comprising an effective dose of at least one compound

of the formula (I) as defined in claim I in any of its stereoisomeric forms or a mixture thereof in

any ratio and/or a pharmaceutically acceptable salt thereof and a pharmaceutically acceptable

carrier.

19. (Original) A pharmaceutical preparation according to claim 18, which pharmaceutical preparation

is in the form of a pill, tablet, lacquered tablet, sugar-coated tablet, granule, hard or soft gelatin

capsule, aqueous, alcoholic or oily solution, syrup, emulsion or suspension, suppository, solution

for injection or infusion, ointment, tincture, spray, transdermal therapeutic systems, nasal spray,

aerosol mixture, microcapsule, implant or rod.

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- 20. (Previously Presented) A method for synthesis of a compound according to claim 1, which method comprises coupling reaction of respective 1,2,3,4-tetrahydronaphthyl amine with a respective acid or acid chloride in the presence of an appropriate base and/or an appropriate coupling agent, optionally followed by a functionalization of the thus-obtained compound.
- 21. (Currently Amended) The A method according to claim 12 of treating a mammal suffering from hypertension wherein the hypertension is chosen from essential hypertension, pulmonary hypertension, secondary hypertension, and renovascular hypertension, which method comprises administering to said mammal a physiologically active amount of a compound according to the general formula (I), in any of its stereoisomeric forms or a mixture thereof in any ratio or a pharmaceutically acceptable salt thereof

$$R^2$$
 R^3
 R^4
 R^5
 R^5
 R^5

wherein, in the formula (I),

R¹ and R⁴ are independently from each other chosen from:

H; unsubstituted and at least monosubstituted C₁-C₁₀-alkyl, C₂-C₁₀-alkenyl and C₂-C₁₀-alkynyl, the substituents of which are chosen from F, OH, C₁-C₈-alkoxy, (C₁-C₈-alkyl)mercapto. CN, COOR⁶, CONR⁷R⁸, and unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₂-C₃-alkoxy and CF₃; unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkoxy and CF₃; R⁹CO: CONR¹⁰R¹¹; COOR¹²; CF₃; halogens; pseudohalogens; NR¹³R¹⁴; OR¹⁵; S(O)_mR₁₆; SO₂NR¹⁷R¹⁸; and NO₂;

R² and R³ are independently from each other chosen from:

H; halogens; pseudohalogens; unsubstituted and at least monosubstituted C_1 - C_{10} -alkyl the substituents of which are chosen from OH, phenyl, and heteroaryl; OH; C_1 - C_{10} -alkoxy; phenoxy; $S(O)_m R^{19}$; CF_3 ; CN; NO_2 ; $(C_1$ - C_{10} -alkyl)amino; $di(C_1$ - C_{10} -alkyl)amino; $(C_1$ - C_6 -alkyl)-CONH-; unsubstituted and at least monosubstituted phenyl-CONH- and phenyl-SO₂-O-, the substituents of which are chosen from balogens, pseudohalogens, CH_3 and methoxy; $(C_1$ - C_6 -alkyl)SO₂-O-; unsubstituted and at least monosubstituted $(C_1$ - C_6 -alkyl)CO, the substituents of which are chosen from F_4 $di(C_1$ - C_3 -alkyl)amino, pyrrolidinyl and piperidinyl; and phenyl-CO, the phenyl part of which can be substituted by one or more substituents chosen from C_1 - C_3 -alkyl, halogens and methoxy;

A is chosen from CH₂, CHOH and CH-(C₁-C₃-alkyl);

B is chosen from CH₂ and CH-(C₁-C₂-alkyl);

C independently has the same meaning as B;

R⁵ is a group Ar or a group Hetar both of which can be unsubstituted or carry one or more substituents chosen from: halogens; pseudohalogens; NH₂; unsubstituted and at least innonosubstituted C₁-C₁₀-alkyl. C₂-C₁₀-alkenyl, C₂-C₁₀-alkynyl, C₁-C₁₀-alkoxy, (C₁-C₁₀-alkyl) amino, and di(C₁-C₁₀-alkyl) amino, the substituents of which are chosen from F, OH, C₁-C₈-alkyl) amino, and di(C₁-C₈-alkyl) amino; C₃-alkoxy, aryloxy, (C₁-C₈-alkyl) mercapto, NH₂, (C₁-C₈-alkyl) amino, and di(C₁-C₈-alkyl) amino; C₃-C₅-alkandiyl; phenyl; heteroaryl; aryl-substituted C₁-C₄-alkyl; heteroaryl-substituted C₁-C₄-alkyl; C₅: NO₂: OH; phenoxy; benzyloxy; (C₁-C₁₀-alkyl)COO; S(O)_mR²⁰; SH; phenylamino; benzylamino; (C₁-C₁₀-alkyl)-CONH-; (C₁-C₁₀-alkyl)-CON(C₁-C₄-alkyl)-; phenyl-CONH-; phenyl-CONH-; heteroaryl-CON(C₁-C₄-alkyl)-; (C₁-C₁₀-alkyl)-CONH-; heteroaryl-CON(C₁-C₄-alkyl)-; (C₁-C₁₀-alkyl)-CONH-; heteroaryl-CONH-; heteroaryl-CONH-; heteroaryl-CON(C₁-C₄-alkyl)-; and saturated and at least monounsaturated aliphatic, mononuclear 5- to 7-membered heterocycles

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Page 23 of 70 Docket No: DEAV2001/0005 US NP containing 1 to 3 heteroatoms chosen from N. O, and S, which heterocycles can be substituted by one or more substituents chosen from halogens, C_1 - C_3 -alkyl, C_1 - C_3 -alkoxy, OH, oxo and CF_3 , and wherein said heterocycles can optionally be condensed to said group Ar or said group Hetar; and wherein all aryl, heteroaryl, phenyl, aryl-containing, heteroaryl-containing and phenyl-containing groups, which are optionally present in said substituents of said group Ar or said group Hetar, can be substituted by one or more substituents chosen from halogens, pseudohalogens, C_1 - C_3 -alkyl, OH, C_1 - C_3 -alkoxy, and CF_3 ;

R⁶ is chosen from:

H: C_1 - C_{10} -alkyl, which can be substituted by one or more substituents chosen from F, C_1 - C_3 -alkyl) and di(C_1 - C_3 -alkyl) amino; aryl-(C_1 - C_4 -alkyl) and heteroaryl-(C_1 - C_4 -alkyl), which can be substituted by one or more substituents chosen from halogens, C_1 - C_4 -alkoxy, and di(C_1 - C_6 -alkyl) amino;

R⁷ is chosen from:

H; C₁-C₁₀-alkyl which can be substituted by one or more substituents chosen from F, C₁-C₈-alkoxy, di(C₁-C₈-alkyl)amino and phenyl: phenyl; indanyl: and heteroaryl; and wherein each of the aforementioned aromatic groups can be unsubstituted or carry one or more substituents chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃;

R⁸ is H or C₁-C₁₀-alkyl;

R⁹ is chosen from: C_1 - C_{10} -alkyl which can be unsubstituted or carry one or more substituents chosen from: F, $(C_1$ - C_4)-alkoxy, $di(C_1$ - C_3 -alkyl)amino; and unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from C_1 - C_3 -alkyl, C_1 - C_3 -alkoxy, halogens, pseudohalogens, and CF_3 ;

R¹⁰ independently has the same meaning as R⁷;

R¹¹ independently has the same meaning as R⁸;

R¹² independently has the same meaning as R⁶:

Page 24 of 70 Docket No: DEAV2001/0005 US NP R¹³ is chosen from: H; C₁-C₆-alkyl; unsubstituted and substituted phenyl, benzyl, heteroaryl, (C₁-C₆-alkyl)-CO, phenyl-CO, and heteroaryl-CO, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy, and CF₃, and wherein one or more of these substituents can be present;

R14 independently has the same meaning as R13;

R¹⁵ is chosen from: H; C₁-C₁₀-alkyl; (C₁-C₃-alkoxy)-C₁-C₂-alkyl; and substituted and unsubstituted benzyl, phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy, and CF₃, and wherein one or more of these substituents can be present;

R¹⁶ is chosen from: C₁-C₁₀-alkyl which can be substituted by one or more substituents chosen from F. OH, C₁-C₉-alkoxy, aryloxy, (C₁-C₈-alkyl)mercapto, (C₁-C₈-alkyl)amino and di(C₁-C₈-alkyl)amino; CF₂; and substituted and unsubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃, and wherein one or more of these substitutents can be present;

R¹⁷ independently has the same meaning as R⁷;

R¹⁸ independently has the same meaning as R⁸:

R¹⁹ independently has the same meaning as R¹⁶:

R²⁰ independently has the same meaning as R¹⁶;

R²¹ independently has the same meaning as R⁶;

 R^{22} independently has the same meaning as R^7 ;

R²³ independently has the same meaning as R⁸;

R²⁴ independently has the same meaning as R⁷;

R²⁵ independently has the same meaning as R⁸;

R²⁶ independently has the same meaning as R¹⁶;

R²⁷ independently has the same meaning as R¹⁶;

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heteroaryl is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N, O, and S;

the group Hetar is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N, O, and S;

aryl is phenyl, naphth-1-yl or naphth-2-yl;

the group Ar is phenyl, naphth-1-yl or naphth-2-yl; and

m is 0, 1 or 2;

wherein the physiologically active amount of the compound according to the general formula (I) in any of its stereoisomeric forms or a mixture thereof in any ratio or a pharmaceutically acceptable salt thereof stimulates the expression of endothelial NO-synthase in the mammal.

22. (Currently Amended) The A method according to claim 12 of treating a mammal suffering from diabetes complications wherein the diabetes complications are chosen from nephropathy and retinopathy-, which method comprises administering to said mammal a physiologically active amount of a compound according to the general formula (I), in any of its stereoisomeric forms or a mixture thereof in any ratio or a pharmaceutically acceptable salt thereof

$$R^2$$
 R^3
 R^4
 R^5
 R^5
 R^5

wherein, in the formula (1),

R¹ and R⁴ are independently from each other chosen from:

H; unsubstituted and at least monosubstituted C₁-C₁₀-alkyl, C₂-C₁₀-alkenyl and C₂-C₁₀-alkynyl. the substituents of which are chosen from F, OH. C1-C3-alkoxy, (C1-C3-alkyl)mercapto, CN. COOR⁶, CONR⁷R⁸, and unsubstituted and at least monosubstituted phenyl and heteroaryl, the

substituents of which are chosen from halogens, pseudohalogens, C₁-C₂-alkyl, C₁-C₃-alkoxy and CF₃; unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃; R⁹CO; CONR¹⁰R¹¹; COOR¹²; CF₃; halogens; pseudohalogens; NR¹³R¹⁴; OR¹⁵; S(O)_mR₁₆; SO₂NR¹⁷R¹⁸; and NO₂;

R² and R³ are independently from each other chosen from:

H; halogens; pseudohalogens; unsubstituted and at least monosubstituted C₁-C₁₀-alkyl the substituents of which are chosen from OH, phenyl, and heteroaryl; OH; C₁-C₁₀-alkoxy; phenoxy; S(O)_mR¹⁹; CF₂; CN; NO₂; (C₁-C₁₀-alkyl)amino; di(C₁-C₁₀-alkyl)amino; (C₁-C₆-alkyl)-CONH-; unsubstituted and at least monosubstituted phenyl-CONH- and phenyl-SO₂-O-, the substituents of which are chosen from halogens, pseudohalogens, CH₃ and methoxy; (C₁-C₆-alkyl)SO₂-O-; unsubstituted and at least monosubstituted (C₁-C₆-alkyl)CO, the substituents of which are chosen from F, di(C₁-C₃-alkyl)amino, pyrrolidinyl and piperidinyl; and phenyl-CO, the phenyl part of which can be substituted by one or more substituents chosen from C₁-C₃-alkyl, halogens and methoxy;

A is chosen from CH₂, CHOH and CH-(C₁-C₂-alkyl):

B is chosen from CH₂ and CH-(C₁-C₃-alkyl);

C independently has the same meaning as B:

R⁵ is a group Ar or a group Hetar both of which can be unsubstituted or carry one or more substituents chosen from: halogens; pseudohalogens; NH₂: unsubstituted and at least monosubstituted C₁-C₁₀-alkyl, C₂-C₁₀-alkenyl, C₂-C₁₀-alkynyl, C₁-C₁₀-alkoxy, (C₁-C₁₀-alkyl)amino, and di(C₁-C₁₀-alkyl)amino, the substituents of which are chosen from F, OH, C₁-C₈-alkyl)amino, and di(C₁-C₈-alkyl)mercapto, NH₂, (C₁-C₉-alkyl)amino, and di(C₁-C₈-alkyl)amino; C₃-C₅-alkandiyl; phenyl; heteroaryl; aryl-substituted C₁-C₄-alkyl; heteroaryl -substituted C₁-C₆-alkyl; CF₃; NO₂; OH; phenoxy; benzyloxy; (C₁-C₁₀-alkyl)COO; S(O)_mR²⁰; SH; phenylamino;

benzylamino; (C₁-C₁₀-alkyl)-CONH-: (C₁-C₁₀-alkyl)-CON(C₁-C₄-alkyl)-: phenyl-CONH-: phenyl-CON(C₁-C₄-alkyl)-: heteroaryl-CONH-: heteroaryl-CON(C₁-C₄-alkyl)-: (C₁-C₁₀-alkyl)-CO: phenyl-CO; heteroaryl-CO; CF₃-CO: -OCH₂O-: -OCF₂O-: -OCH₂CH₂O-: -CH₂CH₂O-: -CH₂CH₂O-: CONR²²R²³; CNH(NH₂); SO₂NR²⁴R²⁵; R²⁶SO₂NH-: R²⁷SO₂N(C₁-C₆-alkyl)-: and saturated and at least monounsaturated aliphatic, mononuclear 5- to 7-membered heterocycles containing 1 to 3 heteroatoms chosen from N. O. and S. which heterocycles can be substituted by one or more substituents chosen from halogens, C₁-C₃-alkyl, C₁-C₃-alkoxy, OH, oxo and CF₃, and wherein said heterocycles can optionally be condensed to said group Ar or said group Hetar; and wherein all aryl, heteroaryl, phenyl, aryl-containing, heteroaryl-containing and phenyl-containing groups, which are optionally present in said substituents of said group Ar or said group Hetar, can be substituted by one or more substituents chosen from halogens, pseudohalogens, C₁-C₃-alkyl, OH, C₁-C₃-alkoxy, and CF₃;

R⁶ is chosen from:

H; C_1 - C_{10} -alkyl, which can be substituted by one or more substituents chosen from F, C_1 - C_3 -alkoxy, and di(C_1 - C_3 -alkyl)amino; aryl-(C_1 - C_4 -alkyl) and heteroaryl-(C_1 - C_4 -alkyl), which can be substituted by one or more substituents chosen from halogens, C_1 - C_4 -alkoxy, and di(C_1 - C_6 -alkyl)amino;

R⁷ is chosen from:

H; C_1 - C_{10} -alkyl which can be substituted by one or more substituents chosen from F, C_1 - C_3 -alkoxy, $di(C_1$ - C_8 -alkyl)amino and phenyl; phenyl; indanyl; and heteroaryl; and wherein each of the aforementioned aromatic groups can be unsubstituted or carry one or more substituents chosen from halogens, pseudohalogens, C_1 - C_3 -alkyl, C_1 - C_3 -alkoxy and CF_3 ;

R⁸ is H or C₁-C₁₀-alkyl;

R⁹ is chosen from: C_1 - C_{10} -alkyl which can be unsubstituted or carry one or more substituents chosen from: F, $(C_1$ - C_4)-alkoxy, $di(C_1$ - C_3 -alkyl)amino; and unsubstituted and at least

monosubstituted phenyl and heteroaryl, the substituents of which are chosen from C1-C3-alkyl, C1-C3-alkoxy, halogens, pseudohalogens, and CF3;

R¹⁰ independently has the same meaning as R⁷;

R¹¹ independently has the same meaning as R⁸;

R¹² independently has the same meaning as R⁶;

R¹³ is chosen from: H; C₁-C₆-alkyl; unsubstituted and substituted phenyl, benzyl, heteroaryl, (C₁-C6-alkyl)-CO, phenyl-CO, and heteroaryl-CO, the substituents of which are chosen from halogens, pseudohalogens, C1-C3-alkyl, C1-C3-alkoxy, and CF3, and wherein one or more of these substituents can be present:

R¹⁴ independently has the same meaning as R¹³:

R¹⁵ is chosen from: H; C₁-C₁₀-alkyl; (C₁-C₃-alkoxy)-C₁-C₃-alkyl; and substituted and unsubstituted benzyl, phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C1-C3-alkyl, C1-C3-alkoxy, and CF3, and wherein one or more of these substituents can be present;

 R^{16} is chosen from: C_1 - C_{10} -alkyl which can be substituted by one or more substituents chosen from F, OH, C₁-C₈-alkoxy, aryloxy, (C₁-C₈-alkyl)mercapto, (C₁-C₈-alkyl)amino and di(C₁-C₈alkyl)amino; CF₂; and substituted and unsubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃, and wherein one or more of these substitutents can be present;

R¹⁷ independently has the same meaning as R⁷:

R¹⁸ independently has the same meaning as R⁸;

R¹⁹ independently has the same meaning as R¹⁶;

R²⁰ independently has the same meaning as R¹⁶;

R²¹ independently has the same meaning as R⁶;

R²² independently has the same meaning as R⁷;

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R²³ independently has the same meaning as R⁸;

R²⁴ independently has the same meaning as R⁷;

R²⁵ independently has the same meaning as R⁸;

R²⁶ independently has the same meaning as R¹⁶;

R²⁷ independently has the same meaning as R¹⁶;

heteroaryl is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N, O, and S;

the group Hetar is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N. O, and S;

aryl is phenyl, naphth-1-yl or naphth-2-yl:

the group Ar is phenyl, naphth-1-yl or naphth-2-yl; and

m is 0, 1 or 2;

wherein the physiologically active amount of the compound according to the general formula (I) in any of its stereoisomeric forms or a mixture thereof in any ratio or a pharmaceutically acceptable salt thereof stimulates the expression of endothelial NO-synthase in the mammal.

23. (Currently Amended) The A method according to claim 12, which method lowers of lowering cardiovascular risk of postmenopausal women and mammals taking contraceptives.—, which method comprises administering to said mammal a physiologically active amount of a compound according to the general formula (I), in any of its stereoisomeric forms or a mixture thereof in any ratio or a pharmaceutically acceptable salt thereof

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wherein, in the formula (I),

R¹ and R⁴ are independently from each other chosen from:

H: unsubstituted and at least monosubstituted C₁-C₁₀-alkyl, C₂-C₁₀-alkenyl and C₂-C₁₀-alkynyl, the substituents of which are chosen from F, OH, C₁-C₈-alkoxy, (C₁-C₈-alkyl)mercapto, CN, COOR⁶, CONR⁷R⁸, and unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃: unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkoxy and CF₃; R⁹CO; CONR¹⁰R¹¹; COOR¹²; CF₃; halogens; pseudohalogens; NR¹³R¹⁴; OR¹⁵; S(O)_mR₁₆; SO₂NR¹⁷R¹⁸; and NO₃;

R² and R³ are independently from each other chosen from:

H; halogens; pseudohalogens; unsubstituted and at least monosubstituted C_1 - C_{10} -alkyl the substituents of which are chosen from OH, phenyl, and heteroaryl; OH; C_1 - C_{10} -alkoxy; phenoxy; $S(O)_{10}R^{19}$; CF_2 ; CN; NO_2 ; $(C_1$ - C_{10} -alkyl)amino; $di(C_1$ - C_{10} -alkyl)amino; $(C_1$ - C_6 -alkyl)-CONH-; unsubstituted and at least monosubstituted phenyl-CONH- and phenyl-SO₂-O-, the substituents of which are chosen from halogens, pseudohalogens, CH_3 and methoxy; $(C_1$ - C_6 -alkyl)SO₂-O-; unsubstituted and at least monosubstituted $(C_1$ - C_6 -alkyl)CO, the substituents of which are chosen from F, $di(C_1$ - C_3 -alkyl)amino, pytrolidinyl and piperidinyl; and phenyl-CO, the phenyl part of which can be substituted by one or more substituents chosen from C_1 - C_3 -alkyl, halogens and methoxy;

A is chosen from CH₂. CHOH and CH₋(C₁-C₃-alkyl);

B is chosen from CH2 and CH-(C1-C3-alkyl);

C independently has the same meaning as B:

R⁵ is a group Ar or a group Hetar both of which can be unsubstituted or carry one or more substituents chosen from: halogens; pseudohalogens; NH₂; unsubstituted and at least

R⁶ is chosen from:

H: C1-C10-alkyl, which can be substituted by one or more substituents chosen from F, C1-C2alkoxy, and di(C1-C8-alkyl)amino; arvl-(C1-C4-alkyl) and heteroaryl-(C1-C4-alkyl), which can be substituted by one or more substituents chosen from halogens, C1-C4-alkoxy, and di(C1-C6alkyl)amino;

R⁷ is chosen from:

H: C1-C10-alkyl which can be substituted by one or more substituents chosen from F. C1-C3alkoxy, di(C1-C8-alkyl)amino and phenyl; phenyl; indanyl; and heteroaryl; and wherein each of

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the aforementioned aromatic groups can be unsubstituted or carry one or more substituents chosen from halogens, pseudohalogens, C₁-C₃-alkvi, C₁-C₃-alkoxy and CF₃;

 R^{8} is H or C_{1} - C_{10} -alkyl;

 R^9 is chosen from: C_1 - C_{10} -alkyl which can be unsubstituted or carry one or more substituents chosen from: F, $(C_1$ - C_4)-alkoxy, $di(C_1$ - C_3 -alkyl)amino; and unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from C_1 - C_3 -alkyl, C_1 - C_3 -alkoxy, halogens, pseudohalogens, and CF_3 ;

R¹⁰ independently has the same meaning as R⁷:

R¹¹ independently has the same meaning as R⁸;

R¹² independently has the same meaning as R⁶;

R¹³ is chosen from: H; C₁-C₆-alkyl; unsubstituted and substituted phenyl, benzyl, heteroaryl, (C₁-C₆-alkyl)-CO, phenyl-CO, and heteroaryl-CO, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₂-alkyl, C₁-C₂-alkoxy, and CF₂, and wherein one or more of these substituents can be present;

R14 independently has the same meaning as R13;

R¹⁵ is chosen from: H; C₁-C₁₀-alkyl; (C₁-C₃-alkoxy)-C₁-C₃-alkyl; and substituted and unsubstituted benzyl, phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy, and CP₃, and wherein one or more of these substituents can be present;

R¹⁶ is chosen from: C₁-C₁₀-alkyl which can be substituted by one or more substituents chosen from F, OH, C₁-C₈-alkoxy, aryloxy, (C₁-C₈-alkyl)mercapto, (C₁-C₈-alkyl)amino and di(C₁-C₈-alkyl)amino; CF₃; and substituted and unsubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃, and wherein one or more of these substitutents can be present;

R¹⁷ independently has the same meaning as R⁷;

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R¹⁸ independently has the same meaning as R⁸:

R¹⁹ independently has the same meaning as R¹⁶;

R²⁰ independently has the same meaning as R¹⁶;

R²¹ independently has the same meaning as R⁶;

 R^{22} independently has the same meaning as R^{7} ;

R²³ independently has the same meaning as R⁸;

R²⁴ independently has the same meaning as R⁷;

R²⁵ independently has the same meaning as R⁸;

R²⁶ independently has the same meaning as R¹⁶;

R²⁷ independently has the same meaning as R¹⁶;

heteroaryl is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N. O. and S:

the group Hetar is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N, O, and S;

aryl is phenyl, naphth-1-vl or naphth-2-vl;

the group Ar is phenyl. naphth-1-yl or naphth-2-yl; and

m is 0, 1 or 2;

wherein the physiologically active amount of the compound according to the general formula (I) in any of its stereoisomeric forms or a mixture thereof in any ratio or a pharmaceutically acceptable salt thereof stimulates the expression of endothelial NO-synthase in the mammal.

24. (Previously Presented) A method of treating a mammal suffering from a cardiovascular disease, which method comprises administering to said mammal a physiologically active amount of a compound according to the general formula (I), in any of its stereoisomeric forms or a mixture thereof in any ratio or a pharmaceutically acceptable salt thereof

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wherein, in the formula (I),

R^t and R⁴ are independently from each other chosen from:

H; unsubstituted and at least monosubstituted C₁-C₁₀-alkyl, C₂-C₁₀-alkenyl and C₂-C₁₀-alkynyl, the substituents of which are chosen from F, OH, C₁-C₈-alkoxy, (C₁-C₈-alkyl)mercapto, CN, COOR⁶, CONR⁷R⁸, and unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃; unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃; R⁹CO; CONR¹⁰R¹¹; COOR¹²; CF₃; halogens; pseudohalogens; NR¹³R¹⁴; OR¹⁵; S(O)_mR₁₆; SO₂NR¹⁷R¹⁸; and NO₂;

R² and R³ are independently from each other chosen from:

H; halogens; pseudohalogens; unsubstituted and at least monosubstituted C_1 - C_{10} -alkyl the substituents of which are chosen from OH, phenyl, and heteroaryl; OH; C_1 - C_{10} -alkoxy; phenoxy; $S(O)_m R^{19}$; C_1 ; C_1 ; C_1 ; C_1 - C_1 0-alkyl)amino; C_1 - C_1 0-alkyl)amino; C_1 - C_2 -alkyl)-CONH-; unsubstituted and at least monosubstituted phenyl-CONH- and phenyl- C_2 0-, the substituents of which are chosen from halogens, pseudohalogens, C_1 4 and methoxy; C_1 - C_2 -alkyl) C_2 - C_3 -alkyl) C_2 - C_3 -alkyl)amino, pyrrolidinyl and piperidinyl; and phenyl- C_3 0, the phenyl part of which can be substituted by one or more substituents chosen from C_1 - C_3 -alkyl, halogens and methoxy;

Page 35 of 70 Docket No: DEAV2001/0005 US NP A is chosen from CH2, CHOH and CH-(C1-C3-alkyl);

B is chosen from CH₂ and CH-(C₁-C₃-alkyl);

C independently has the same meaning as B;

R⁵ is a group Ar or a group Hetar both of which can be unsubstituted or carry one or more substituents chosen from: halogens; pseudohalogens; NH₂; unsubstituted and at least monosubstituted C₁-C₁₀-alkyl, C₂-C₁₀-alkenyl, C₂-C₁₀-alkynyl, C₁-C₁₀-alkoxy, (C₁-C₁₀alkyl)amino, and di(C1-C10-alkyl)amino, the substituents of which are chosen from F, OH, C1-C8alkoxy, aryloxy, (C₁-C₈-alkyl)mercapto, NH₂, (C₁-C₈-alkyl)amino, and di(C₁-C₈-alkyl)amino; C₃- C_5 -alkandiyl; phenyl; heteroaryl; aryl-substituted C_1 - C_4 -alkyl; heteroaryl -substituted C_1 - C_4 -alkyl; CF₃; NO₂; OH; phenoxy; benzyloxy; (C₁-C₁₀-alkyl)COO; S(O)_mR²⁰; SH; phenylamino; benzylamino; (C₁-C₁₀-alkyl)-CONH-; (C₁-C₁₀-alkyl)-CON(C₁-C₄-alkyl)-; phenyl-CONH-; phenyl-CON(C₁-C₄-alkyl)-; heteroaryl-CONH-; heteroaryl-CON(C₁-C₄-alkyl)-; (C₁-C₁₀-alkyl)-CO; phenyl-CO; heteroaryl-CO; CF₃-CO; -OCH₂O-; -OCF₂O-; -OCH₂CH₂O-; -CH₂CH₂O-; COOR²¹; CONR²²R²³; CNH(NH₂); SO₂NR²⁴R²⁵; R²⁶SO₂NH-; R²⁷SO₂N(C₁-C₆-alkyl)-; and saturated and at least monounsaturated aliphatic, mononuclear 5- to 7-membered heterocycles containing 1 to 3 heteroatoms chosen from N, O, and S, which heterocycles can be substituted by one or more substituents chosen from halogens, C₁-C₃-alkyl, C₁-C₃-alkoxy, OH, oxo and CF₃, and wherein said heterocycles can optionally be condensed to said group Ar or said group Hetar; and wherein all aryl, heteroaryl, phenyl, aryl-containing, heteroaryl-containing and phenyl-containing groups, which are optionally present in said substituents of said group Ar or said group Hetar, can be substituted by one or more substituents chosen from halogens, pseudohalogens, C₁-C₃-alkyl, OH, C1-C3-alkoxy, and CF3;

R⁶ is chosen from:

H; C_1 - C_{10} -alkyl, which can be substituted by one or more substituents chosen from F, C_1 - C_3 -alkyl, and $di(C_1$ - C_3 -alkyl) amino; aryl- $(C_1$ - C_4 -alkyl) and heteroaryl- $(C_1$ - C_4 -alkyl), which can be

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substituted by one or more substituents chosen from halogens, C₁-C₄-alkoxy, and di(C₁-C₆-alkyl)amino;

R⁷ is chosen from:

H; C_1 - C_{10} -alkyl which can be substituted by one or more substituents chosen from F, C_1 - C_3 -alkoxy, di(C_1 - C_8 -alkyl)amino and phenyl; phenyl; indanyl; and heteroaryl; and wherein each of the aforementioned aromatic groups can be unsubstituted or carry one or more substituents chosen from halogens, pseudohalogens, C_1 - C_3 -alkyl, C_1 - C_3 -alkoxy and CF_3 ;

R⁸ is H or C₁-C₁₀-alkyl;

R⁹ is chosen from: C₁-C₁₀-alkyl which can be unsubstituted or carry one or more substituents chosen from: F, (C₁-C₄)-alkoxy, di(C₁-C₃-alkyl)amino; and unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from C₁-C₃-alkyl, C₁-C₃-alkoxy, halogens, pseudohalogens, and CF₃;

R¹⁰ independently has the same meaning as R⁷;

R¹¹ independently has the same meaning as R⁸;

R¹² independently has the same meaning as R⁶;

R¹³ is chosen from: H; C₁-C₆-alkyl; unsubstituted and substituted phenyl, benzyl, heteroaryl, (C₁-C₆-alkyl)-CO, phenyl-CO, and heteroaryl-CO, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy, and CF₃, and wherein one or more of these substituents can be present;

 R^{14} independently has the same meaning as R^{13} ;

R¹⁵ is chosen from: H; C₁-C₁₀-alkyl; (C₁-C₃-alkoxy)-C₁-C₃-alkyl; and substituted and unsubstituted benzyl, phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy, and CF₃, and wherein one or more of these substituents can be present;

Page 37 of 70 Docket No: DEAV2001/0005 US NP R¹⁶ is chosen from: C₁-C₁₀-alkyl which can be substituted by one or more substituents chosen from F, OH, C1-C8-alkoxy, aryloxy, (C1-C8-alkyl)mercapto, (C1-C8-alkyl)amino and di(C1-C8alkyl)amino; CF3; and substituted and unsubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃, and wherein one or more of these substitutents can be present; R¹⁷ independently has the same meaning as R⁷; R¹⁸ independently has the same meaning as R⁸; R¹⁹ independently has the same meaning as R¹⁶; R²⁰ independently has the same meaning as R¹⁶; R²¹ independently has the same meaning as R⁶; R²² independently has the same meaning as R⁷: R²³ independently has the same meaning as R⁸; R²⁴ independently has the same meaning as R⁷; R²⁵ independently has the same meaning as R⁸; R²⁶ independently has the same meaning as R¹⁶; R²⁷ independently has the same meaning as R¹⁶; heteroaryl is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N, O, and S; the group Hetar is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N, O, and S; aryl is phenyl, naphth-1-yl or naphth-2-yl; the group Ar is phenyl, naphth-1-yl or naphth-2-yl; and

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m is 0, 1 or 2;

wherein the physiologically active amount of the compound according to the general formula (I) in any of its stereoisomeric forms or a mixture thereof in any ratio or a pharmaceutically acceptable salt thereof stimulates the expression of endothelial NO-synthase in the mammal.

25. (Previously Presented) The method according to claim 24, wherein the compound of the general formula (I) is chosen from compounds of the general formula (I), wherein R¹ is chosen from: H; C₁-C₄-alkyl; C₁-C₄-alkoxy; CF₃; halogens; pseudohalogens; (C₁-C₄-alkyl)-S(O)_{m⁻}; and unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃, and wherein heteroaryl is chosen from 5- and 6-membered heterocycles containing one or more heteroatoms chosen from N, O, and S;

R² and R³ are independently from each other chosen from: H; halogens; pseudohalogens; and C₁-C₃-alkyl;

R⁴ independently has the same meaning as R¹;

A is chosen from CH2 and CHOH;

B and C are independently from each other chosen from CH2 and CH-CH3;

R⁵ is a group Ar or a group Hetar both of which can be unsubstituted or carry one or more substituents chosen from: halogens; CN; NH₂; unsubstituted and at least monosubstituted C₁-C₈-alkyl, C₂-C₈-alkenyl, C₂-C₈-alkynyl, C₁-C₈-alkoxy, (C₁-C₈-alkyl)amino, and di(C₁-C₈-alkyl)amino, the substituents of which are chosen from F, C₁-C₆-alkoxy, phenoxy, (C₁-C₆-alkyl)mercapto, NH₂, (C₁-C₆-alkyl)amino, and di(C₁-C₆-alkyl)amino; C₃-C₅-alkandiyl; phenyl; heteroaryl; phenyl- substituted C₁-C₂-alkyl; heteroaryl-substituted C₁-C₂-alkyl; CF₃; OH; phenoxy; benzyloxy; (C₁-C₆-alkyl)COO; S(O)_m(C₁-C₆)-alkyl; S(O)_m-phenyl; S(O)_m-heteroaryl; SH; phenylamino; benzylamino; (C₁-C₆-alkyl)-CONH-; (C₁-C₆-alkyl)-CON(C₁-C₄-alkyl)-; phenyl-CONH-; phenyl-CON(C₁-C₄-alkyl)-; heteroaryl-CONH-; heteroaryl-CON(C₁-C₄-alkyl)-;

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(C₁-C₆-alkyl)-CQ; phenyl-CQ; heteroaryl-CQ; CF₃-CQ; -QCH₂Q-; -QCF₂Q-; -QCH₂CH₂Q-; - $CH_2CH_2O_-$; $COO(C_1-C_6-alkyl)$; $-CONH_2$; $-CONH(C_1-C_6-alkyl)$; $-CON(di(C_1-C_6-alkyl))$; $CNH(NH_2)$; $-SO_2NH_2$; $-SO_2NH(C_1-C_6-alkyl)$; $-SO_2NH(phenyl)$; $-SO_2N(di(C_1-C_6-alkyl))$; $(C_1-C_6-alkyl)$); $(C_1$ alkyl)SO₂NH-; (C₁-C₆-alkyl)SO₂N(C₁-C₆-alkyl)-; phenyl-SO₂NH-; phenyl-SO₂N(C₁-C₆-alkyl)-; heteroaryl-SO₂NH-; heteroaryl-SO₂N(C₁-C₆-alkyl)-; and saturated and at least monounsaturated aliphatic, mononuclear 5- to 7-membered heterocycles containing 1 to 3 heteroatoms chosen from N, O, and S, which heterocycles can be substituted by one or more substituents chosen from halogens, C₁-C₃-alkyl, C₁-C₃-alkoxy, OH, oxo and CF₃, and wherein said heterocycles can optionally be condensed to said group Ar or said group Hetar; and wherein all heteroaryl, phenyl, heteroaryl-containing and phenyl-containing groups, which are optionally present in said substituents of said group Ar or said group Hetar, can be substituted by one or more substituents chosen from halogens, pseudohalogens, C1-C3-alkyl, OH, C1-C3-alkoxy, and CF3; heteroaryl is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N, O, and S; the group Hetar is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N, O, and S; the group Ar is phenyl, naphth-1-yl or naphth-2-yl; and m is 0 or 2.

26. (Previously Presented) The method according to claim 24, wherein the compound according to the general formula (I) is chosen from the compounds of the general formula (I), wherein R¹ is H, halogen, or C₁-C₄-alkyl;

R² and R³ are each H;

R4 independently has the same meaning as R1;

A is CH2;

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R⁵ is phenyl or a group Hetar both of which can be unsubstituted or carry one or more substituents chosen from: halogens; CN; NH2; unsubstituted and at least monosubstituted C1-C6alkyl, C2-C6-alkenyl, C2-C6-alkynyl, C1-C3-alkoxy, (C1-C4-alkyl)amino, and di(C1-C4alkyl)amino, the substituents of which are chosen from F, C₁-C₃-alkoxy, (C₁-C₃-alkyl)mercapto, and NH₂; C₃-C₅-alkandiyl; phenyl; heteroaryl; phenyl-substituted C₁-C₂-alkyl; heteroarylsubstituted C_1 - C_2 -alkyl; CF_3 ; OH; $(C_1$ - C_4 -alkyl)COO; $S(O)_m(C_1$ - C_4)-alkyl; $(C_1$ - C_4 -alkyl)-CONH-; (C₁-C₄-alkyl)-CON(C₁-C₄-alkyl)-; (C₁-C₄-alkyl)-CO; phenyl-CO; heteroaryl-CO; CF₃-CO; - OCH_2O_{-} ; $-OCF_2O_{-}$; $-OCH_2CH_2O_{-}$; $-CH_2CH_2O_{-}$; $COO(C_1-C_6-alkyl)$; $-CONH_2$; $-CONH(C_1-C_4-alkyl)$; $-CONH_2$ alkyl); $-CON(di(C_1-C_4-alkyl))$; $CNH(NH_2)$; $-SO_2NH_2$; $-SO_2NH(C_1-C_4-alkyl)$; $-SO_2NH(phenyl)$; - $SO_2N(di(C_1-C_4-alkyl)); (C_1-C_4-alkyl)SO_2NH-; (C_1-C_4-alkyl)SO_2N(C_1-C_4-alkyl)-; and saturated$ and at least monounsaturated aliphatic, mononuclear 5- to 7-membered heterocycles containing 1 to 3 heteroatoms chosen from N, O, and S, which heterocycles can be substituted by one or more substituents chosen from halogens, C₁-C₃-alkyl, C₁-C₃-alkoxy, OH, oxo and CF₃, and wherein said heterocycles can optionally be condensed to said phenyl or said group Hetar; and wherein all heteroaryl, phenyl, heteroaryl-containing and phenyl-containing groups, which are optionally present in said substituents of said phenyl or said group Hetar, can be substituted by one or more substituents chosen from halogens, pseudohalogens, C₁-C₃-alkyl, OH, C₁-C₃-alkoxy, and CF₂; heteroaryl is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one, two or three heteroatoms chosen from N, O, and S; the group Hetar is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one, two or three heteroatoms chosen from N, O, and S; and m is 0 or 2.

27. (Previously Presented) The method according to claim 24, wherein the compound according to the general formula (I) is chosen from the compounds of the general formula (I) wherein

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 R^1 is H, halogen, or C_1 - C_4 -alkyl;

R² and R³ are each H;

R4 independently has the same meaning as R1;

A and B are each CH2:

C is CH2 or CH-CH3;

R⁵ is phenyl or a group Hetar both of which can be unsubstituted or carry one or more substituents chosen from: F; Cl; Br; C₁-C₃-alkyl; C₁-C₃-alkoxymethyl; 2-amino-3,3,3-trifluoropropyl-; CF₃; C₃-C₅-alkandiyl; phenyl; heteroaryl; benzyl; heteroaryl-methyl; OH; C₁-C₃-alkoxy; phenoxy; trifluoromethoxy; $(C_1-C_4-alkyl)COO$; $(C_1-C_3-alkyl)$ mercapto; phenylmercapto; (C₁-C₃-alkyl)sulfonyl; phenylsulfonyl; NH₂; (C₁-C₄-alkyl)amino; di(C₁-C₄alkyl)amino; (C₁-C₃-alkyl)-CONH-; (C₁-C₃-alkyl)-SO₂NH-; (C₁-C₃-alkyl)-CO; phenyl-CO; - OCH_2O -; $-OCF_2O$ -; $-CH_2CH_2O$ -; $COO(C_1-C_4-alkyl)$; $-CONH_2$; $-CONH(C_1-C_4-alkyl)$; $-CONH_2$; $-CONH(C_1-C_4-alkyl)$; $-CONH_2$; $CON(di(C_1-C_4-alkyl)); CN; -SO_2NH_2; -SO_2NH(C_1-C_4-alkyl); -SO_2N(di(C_1-C_4-alkyl));$ pyrrolidinyl; piperidinyl; morpholinyl; and thiomorpholinyl; and wherein all heteroaryl, phenyl, heteroaryl-containing and phenyl-containing groups, which are optionally present in said substituents of said phenyl or said group Hetar, can be substituted by one or more substituents chosen from halogens, pseudohalogens, C₁-C₃-alkyl, OH, C₁-C₃-alkoxy, and CF₃; heteroaryl is chosen from: furyl, pyrrolyl, thienyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, pyrazolyl, imidazolyl, pyridazinyl, pyrazinyl, pyridyl, pyrimidinyl, benzoimidazolyl, benzothiazolyl, benzoxazolyl, quinolinyl, isoquinolinyl, quinoxalinyl, quinazolyl, indolyl, benzofuranyl, benzothiophenyl, and indazolyl; the group Hetar is chosen from: furyl, pyrrolyl, thienyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, pyrazolyl, imidazolyl, pyridazinyl, pyrazinyl, pyridyl, pyrimidinyl, benzoimidazolyl, benzothiazolyl, benzoxazolyl, quinolinyl, isoquinolinyl, quinoxalinyl, quinazolyl, indolyl, benzofuranyl, benzothiophenyl, and indazolyl.

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28. (Previously Presented) The method according to claim 24, wherein the compound according to the general formula (I) is chosen from the compounds of the general formula (I) wherein R¹ is H, halogen or C₁-C₄-alkyl;

R² and R³ are each H;

R⁴ independently has the same meaning as R¹;

A and B are each CH2;

C is CH2 or CH-CH3;

R⁵ is chosen from: 4-fluorophenyl, 4-chlorophenyl, 4-bromophenyl, 4-(C₁-C₁-alkoxy)-phenyl, 4trifluoromethoxyphenyl, 2-bromo-4-fluorophenyl, 2-chloro-4-fluorophenyl, 3,4-dimethylphenyl, 2,4-dimethylphenyl, 4-chloro-2-methylphenyl, 2-hydroxy-4-methylphenyl, 2-hydroxy-4ethoxyphenyl, 2-methoxy-4-methylphenyl, 4-phenoxyphenyl, 3-fluoro-4-methylphenyl, benzo[1,3]dioxol-5-yl, 2,2-difluoro-benzo[1,3]dioxol-5-yl, 2,3-dihydrobenzofuran-5-yl, 1-(4chloro-phenyl)-5-trifluoromethyl-1H-pyrazole-4-yl, 1-(4-fluoro-phenyl)-3,5-dimethyl-1Hpyrazole-4-yl, 1H-benzotriazole-5-yl, 1H-indole-4-yl, 1H-indole-6-yl, 1-isopropyl-2trifluoromethyl- 1H-benzoimidazole-5-yl, 1-methyl-3-oxo-1,2,3,4-tetrahydro-quinoxaline-6-yl, 1phenyl-5-trifluoromethyl-1H-pyrazole-4-yl, 2-(2-hydroxy-pyridin-4-yl)-1H-benzoimidazole-5-yl, 2-(4-cyano-phenyl)- 1H-benzoimidazole-5-yl, 2,4-dimethyl-oxazole-5-yl, 2,4-dimethylpyrimidine-5-yl, 2,4-dimethyl-thiazole-5-yl, 2,5-dimethyl-1H-pyrrole-3-yl, 2,5-dimethyl-1phenyl-1H-pyrrole-3-yl, 2,5-dimethyl-1-pyridin-4-ylmethyl-1H-pyrrolyl, 2,5-dimethyl-2Hpyrazole-3-yl, 2,6-dichloro-pyrid-3-yl, 2,6-dimethoxy-pyrid-3-yl, 2,6-dimethyl-pyrid-3-yl, 2amino-4,6-dimethyl-pyrid-3-yl, 2-amino-6-chloro-pyrid-3-yl, 2-amino-pyrid-3-yl, 2-chloro-6methyl-pyrid-3-yl, 2-chloro-pyrid-4-yl, 2-cyclopropyl-4-methyl-thiazole-5-yl, 2-dimethylamino-4-methyl-thiazole-5-yl, 2-dimethylamino-pyrid-4-yl, 2-ethyl-5-methyl-2H-pyrazole-3-yl, 2hydroxy-6-methyl-pyrid-3-yl, 2-methyl-1H-benzoimidazole-5-yl, 2-methyl-3H-benzoimidazole-5-yl, 2-methyl-pyrid-3-yl, 2-methyl-6-trifluoromethyl-pyrid-3-yl, 2-methyl-thiazole-5-yl, 2-

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morpholin-4-yl-pyridin-4-yl, 2-morpholin-4-yl-pyrimidine-5-yl, 2-pyrrolidin-1-yl-pyridin-4-yl, 3,5-dimethyl-l\(\text{H-pyrazole-4-yl}\), 3 -amino- 5,6-dimethyl-pyrazine-2-yl, 3-amino-5-methylpyrazine-2-yl, 3-amino-pyrazine-2-yl, 3-dimethylamino-4-methyl-phenyl, 3-dimethylaminophenyl, 3H-benzoimidazole-5-yl, 1H-benzoimidazole-5-yl, 3-methanesulfonylamino-2-methylphenyl, 3-methanesulfonylamino-phenyl, 3-methyl-isoxazole-4-yl, 3-morpholin-4-yl-phenyl, 3piperidin-1-yl-phenyl, 3-pyrrolidin-1-yl-phenyl, 4-(2,2,2-trifluoro-ethoxy)-phenyl, 4,6-dimethylpyrid-3-yl, 4-amino-2-ethyl sulfanyl-pyrimidine-5-yl, 4-amino-2-methyl-pyrimidine-5-yl, 4chloro-3-methanesulfonylamino-phenyl, 4-chloro-3-sulfamoyl-phenyl, 4-methyl-3-methylaminophenyl, 4-methyl-thiazole-5-yl, pyridine-2-yl, pyridine-3-yl, pyridine-4-yl, 5-thiophen-2-yl-pyrid-3-yl, 2-methyl-4-trifluoromethyl-thiazol-5-yl, 5,6,7,8-tetrahydro-quinoline-3-yl, 5-amino-1phenyl-1H-pyrazole-4-yl, 5-methanesulfonyl-2-methyl-phenyl, 5-methyl-1-phenyl-1H-pyrazole-4-yl, 5-methyl-isoxazole-3-yl, 5-methyl-pyrid-3-yl, 5-methyl-pyrazine-2-yl, 6-chloro-pyrid-3-yl, 6-cyano-pyrid-3-yl, 6-dimethylamino-pyrid-3-yl, 6-ethynyl-pyrid-3-yl, 6-methoxymethyl-pyrid-3-yl, 6-methoxy-pyrid-3-yl, 6-methyl-2-methylamino-pyrid-3-yl, 6-methylamino-pyrazine-2-yl, 6-methyl-pyrid-3-yl, 6-morpholin-4-yl-pyrid-3-yl, 6-pyrrolidin-1-yl-pyrid-3-yl, imidazo[1,2a]pyridine-2-yl, 6-trifluoromethyl-pyrid-3-yl, and pyrimidine-4-yl.

- 29. (Previously Presented) The method according to claim 24, wherein the mammal is a human.
- 30. (Previously Presented) A method of treating a mammal suffering from a disease chosen from stable and unstable angina pectoris, coronary heart disease, Prinzmetal angina, acute coronary syndrome, heart failure, myocardial infarction, stroke, thrombosis, peripheral artery occlusive disease, endothelial dysfunction, atherosclerosis, restenosis, endothelial damage after PTCA, hypertension, chronic glomerulonephritis, erectile dysfunction, ventricular arrhythmia, diabetes, diabetes complications, angiogenesis, asthma bronchiale, chronic renal failure, cirrhosis of the

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liver, osteoporosis, diseases with symptoms of restricted memory performance and/or a restricted ability to learn, which method comprises administering to said mammal a physiologically active amount of a compound according to the general formula (I), in any of its stereoisomeric forms or a mixture thereof in any ratio or a pharmaceutically acceptable salt thereof

$$R^2$$
 R^3
 R^4
 R^6
 R^6
 R^6

wherein R1 is H, halogen or C1-C4-alkyl;

R² and R³ are each H;

R4 independently has the same meaning as R1;

A and B are each CH2;

C is CH2 or CH-CH3;

R⁵ is chosen from: benzo[1,3]dioxol-5-yl, 2,2-difluoro-benzo[1,3]dioxol-5-yl, 2,3-dihydrobenzofuran-5-yl, 1-(4-chloro-phenyl)-5-trifluoromethyl-1H-pyrazole-4-yl, 1-(4-fluoro-phenyl)-3,5-dimethyl-1H-pyrazole-4-yl, 1H-benzotriazole-5-yl, 1H-indole-4-yl, 1H-indole-6-yl, 1-isopropyl-2-trifluoromethyl- 1H-benzoimidazole-5-yl, 1-methyl-3 -oxo- 1,2,3,4-tetrahydro-quinoxaline-6-yl, 1-phenyl-5-trifluoromethyl-1H-pyrazole-4-yl, 2-(2-hydroxy-pyridin-4-yl)-1H-benzoimidazole-5-yl, 2-(4-cyano-phenyl)-1H-benzoimidazole-5-yl, 2,4-dimethyl-oxazole-5-yl, 2,4-dimethyl-pyrimidine-5-yl, 2,4-dimethyl-thiazole-5-yl, 2,5-dimethyl-1H-pyrrole-3-yl, 2,5-dimethyl-1H-pyrrole-3-yl, 2,5-dimethyl-1-phenyl-1H-pyrrole-3-yl, 2,5-dimethyl-1-pyridin-4-ylmethyl-1H-pyrrolyl, 2,5-dimethyl-2H-pyrazole-3-yl, 2,6-dichloro-pyrid-3-yl, 2,6-dimethyl-pyrid-3-yl, 2-amino-4,6-dimethyl-pyrid-3-yl, 2-amino-6-chloro-pyrid-3-yl, 2-amino-pyrid-3-yl, 2-dimethyl-pyrid-3-yl, 2-chloro-pyrid-4-yl, 2-cyclopropyl-4-methyl-thiazole-5-yl, 2-dimethylamino-4-methyl-thiazole-5-yl, 2-dimethylamino-pyrid-4-yl, 2-ethyl-5-methyl-2H-

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pyrazole-3-yl, 2-hydroxy-6-methyl-pyrid-3-yl, 2-methyl-1H-benzoimidazole-5-yl, 2-methyl-3H-benzoimidazole-5-yl, 2-methyl-pyrid-3-yl, 2-methyl-f-trifluoromethyl-pyrid-3-yl, 2-methyl-thiazole-5-yl, 2-morpholin-4-yl-pyridin-4-yl, 2-morpholin-4-yl-pyrimidine-5-yl, 2-pyrrolidin-1-yl-pyridin-4-yl, 3,5-dimethyl-1H-pyrazole-4-yl, 3-amino-5,6-dimethyl-pyrazine-2-yl, 3-amino-5-methyl-pyrazine-2-yl, 3-amino-pyrazine-2-yl, 3H-benzoimidazole-5-yl, 1H-benzoimidazole-5-yl, 3-methyl-isoxazole-4-yl, 4,6-dimethyl-pyrid-3-yl, 4-amino-2-ethylsulfanyl-pyrimidine-5-yl, 4-amino-2-methyl-pyrimidine-5-yl, 4-methyl-thiazole-5-yl, pyridine-2-yl, pyridine-3-yl, pyridine-4-yl, 5-thiophen-2-yl-pyrid-3-yl, 2-methyl-4-trifluoromethyl-thiazol-5-yl, 5,6,7,8-tetrahydro-quinoline-3-yl, 5-amino-1-phenyl-1H-pyrazole-4-yl, 5-methyl-1-phenyl-1H-pyrazole-4-yl, 5-methyl-isoxazole-3-yl, 5-methyl-pyrid-3-yl, 5-methyl-pyrid-3-yl, 6-chloro-pyrid-3-yl, 6-cyano-pyrid-3-yl, 6-dimethylamino-pyrid-3-yl, 6-ethynyl-pyrid-3-yl, 6-methoxy-pyrid-3-yl, 6-methyl-pyrid-3-yl, 6-methyl-pyrid-3-yl, 6-morpholin-4-yl-pyrid-3-yl, 6-pyrrolidin-1-yl-pyrid-3-yl, imidazo[1,2-a]pyridine-2-yl, 6-trifluoromethyl-pyrid-3-yl, and pyrimidine-4-yl.

- 31. (Previously Presented) The method according to claim 30, wherein the hypertension is chosen from essential hypertension, pulmonary hypertension, secondary hypertension, and renovascular hypertension.
- 32. (Previously Presented) The method according to claim 30, wherein the diabetes complications are chosen from nephropathy and retinopathy.
- 33. (Previously Presented) The method according to claim 30, which method lowers cardiovascular risk of postmenopausal women and mammals taking contraceptives.

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- 34. (Previously Presented) The method according to claim 30, wherein the mammal is a human.
- 35. (Previously Presented) A method of treating a mammal suffering from a cardiovascular disease, which method comprises administering to said mammal a physiologically active amount of a compound according to the general formula (I), in any of its stereoisomeric forms or a mixture thereof in any ratio or a pharmaceutically acceptable salt thereof

$$R^2$$
 R^3
 R^4
 R^5
 R^5
 R^5
 R^5

wherein R1 is H, halogen or C1-C4-alkyl;

R² and R³ are each H;

 \mathbb{R}^4 independently has the same meaning as \mathbb{R}^1 ;

A and B are each CH2;

C is CH2 or CH-CH3;

R⁵ is chosen from: benzo[1,3]dioxol-5-yl, 2,2-difluoro-benzo[1,3]dioxol-5-yl, 2,3-dihydrobenzofuran-5-yl, 1-(4-chloro-phenyl)-5-trifluoromethyl-1H-pyrazole-4-yl, 1-(4-fluoro-phenyl)-3,5-dimethyl-1H-pyrazole-4-yl, 1H-benzotriazole-5-yl, 1H-indole-4-yl, 1H-indole-6-yl, 1-isopropyl-2-trifluoromethyl- 1H-benzoimidazole-5-yl, 1-methyl-3 -oxo- 1,2,3,4-tetrahydro-quinoxaline-6-yl, 1-phenyl-5-trifluoromethyl-1H-pyrazole-4-yl, 2-(2-hydroxy-pyridin-4-yl)-1H-benzoimidazole-5-yl, 2-(4-cyano-phenyl)-1H-benzoimidazole-5-yl, 2,4-dimethyl-oxazole-5-yl, 2,4-dimethyl-pyrimidine-5-yl, 2,4-dimethyl-thiazole-5-yl, 2,5-dimethyl-1H-pyrrole-3-yl, 2,5-dimethyl-1-phenyl-1H-pyrrole-3-yl, 2,5-dimethyl-1-pyridin-4-ylmethyl-1H-pyrrolyl, 2,5-dimethyl-2H-pyrazole-3-yl, 2,6-dichloro-pyrid-3-yl, 2,6-dimethyl-pyrid-3-yl, 2-amino-4,6-dimethyl-pyrid-3-yl, 2-amino-6-chloro-pyrid-3-yl, 2-amino-pyrid-3-yl, 2-am

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chloro-6-methyl-pyrid-3-yl, 2-chloro-pyrid-4-yl, 2-cyclopropyl-4-methyl-thiazole-5-yl, 2dimethylamino-4-methyl-thiazole-5-yl, 2-dimethylamino-pyrid-4-yl, 2-ethyl-5-methyl-2Hpyrazole-3-yl, 2-hydroxy-6-methyl-pyrid-3-yl, 2-methyl-1H-benzoimidazole-5-yl, 2-methyl-3Hbenzoimidazole-5-yl, 2-methyl-pyrid-3-yl, 2-methyl-6-trifluoromethyl-pyrid-3-yl, 2-methylthiazole-5-yl, 2-morpholin-4-yl-pyridin-4-yl, 2-morpholin-4-yl-pyrimidine-5-yl, 2-pyrrolidin-1yl-pyridin-4-yl, 3,5-dimethyl-1H-pyrazole-4-yl, 3-amino-5,6-dimethyl-pyrazine-2-yl, 3-amino-5methyl-pyrazine-2-yl, 3-amino-pyrazine-2-yl, 3H-benzoimidazole-5-yl, 1H-benzoimidazole-5-yl, 3-methyl-isoxazole-4-yl, 4,6-dimethyl-pyrid-3-yl, 4-amino-2-ethylsulfanyl-pyrimidine-5-yl, 4amino-2-methyl-pyrimidine-5-yl, 4-methyl-thiazole-5-yl, pyridine-2-yl, pyridine-3-yl, pyridine-4yl, 5-thiophen-2-yl-pyrid-3-yl, 2-methyl-4-trifluoromethyl-thiazol-5-yl, 5,6,7,8-tetrahydroquinoline-3-yl, 5 -amino-1-phenyl-1H-pyrazole-4-yl, 5-methyl-1-phenyl-1H-pyrazole-4-yl, 5methyl-isoxazole-3-yl, 5-methyl-pyrid-3-yl, 5-methyl-pyrazine-2-yl, 6-chloro-pyrid-3-yl, 6cyano-pyrid-3-yl, 6-dimethylamino-pyrid-3-yl, 6-ethynyl-pyrid-3-yl, 6-methoxymethyl-pyrid-3yl, 6-methoxy-pyrid-3-yl, 6-methyl-2-methylamino-pyrid-3-yl, 6-methylamino-pyrazine-2-yl, 6methyl-pyrid-3-yl, 6-morpholin-4-yl-pyrid-3-yl, 6-pyrrolidin-1-yl-pyrid-3-yl, imidazo[1,2a)pyridine-2-yl, 6-trifluoromethyl-pyrid-3-yl, and pyrimidine-4-yl.

- 36. (Previously Presented) The method according to claim 35, wherein the mammal is a human.
- 37. (Previously Presented) A method of treating a mammal suffering from a disease chosen from stable and unstable angina pectoris, coronary heart disease, acute coronary syndrome, heart failure, myocardial infarction, thrombosis, peripheral artery occlusive disease, endothelial dysfunction, atherosclerosis, restenosis, endothelial damage after PTCA, hypertension, and osteoporosis, which method comprises administering to said mammal a physiologically active

Page 48 of 70 Docket No: DEAV2001/0005 US NP amount of a compound according to the general formula (I), in any of its stereoisomeric forms or a mixture thereof in any ratio or a pharmaceutically acceptable salt thereof

$$R^2$$
 R^3
 R^4
 R^5
 R^5
 R^5
 R^5

wherein, in the formula (I),

R1 and R4 are independently from each other chosen from:

H; unsubstituted and at least monosubstituted C₁-C₁₀-alkyl, C₂-C₁₀-alkenyl and C₂-C₁₀-alkynyl, the substituents of which are chosen from F, OH, C₁-C₈-alkoxy, (C₁-C₈-alkyl)mercapto, CN, COOR⁶, CONR⁷R⁸, and unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃; unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃; R⁹CO; CONR¹⁰R¹¹; COOR¹²; CF₃; halogens; pseudohalogens; NR¹³R¹⁴; OR¹⁵; S(O)_mR₁₆; SO₂NR¹⁷R¹⁸; and NO₂;

R² and R³ are independently from each other chosen from:

H; halogens; pseudohalogens; unsubstituted and at least monosubstituted C₁-C₁₀-alkyl the substituents of which are chosen from OH, phenyl, and heteroaryl; OH; C₁-C₁₀-alkoxy; phenoxy; S(O)_mR¹⁹; CF₃; CN; NO₂; (C₁-C₁₀-alkyl)amino; di(C₁-C₁₀-alkyl)amino; (C₁-C₆-alkyl)-CONH-; unsubstituted and at least monosubstituted phenyl-CONH- and phenyl-SO₂-O-, the substituents of which are chosen from halogens, pseudohalogens, CH₃ and methoxy; (C₁-C₆-alkyl)SO₂-O-; unsubstituted and at least monosubstituted (C₁-C₆-alkyl)CO, the substituents of which are chosen from F, di(C₁-C₃-alkyl)amino, pyrrolidinyl and piperidinyl; and phenyl-CO, the phenyl part of

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which can be substituted by one or more substituents chosen from C₁-C₃-alkyl, halogens and methoxy;

A is chosen from CH₂, CHOH and CH-(C₁-C₃-alkyl);

B is chosen from CH₂ and CH-(C₁-C₃-alkyl);

C independently has the same meaning as B;

R⁵ is a group Ar or a group Hetar both of which can be unsubstituted or carry one or more substituents chosen from: halogens; pseudohalogens; NH2; unsubstituted and at least monosubstituted C_1 - C_{10} -alkyl, C_2 - C_{10} -alkenyl, C_2 - C_{10} -alkynyl, C_1 - C_{10} -alkoxy, $(C_1$ - C_{10} alkyl)amino, and di(C₁-C₁₀-alkyl)amino, the substituents of which are chosen from F, OH, C₁-C₈alkoxy, aryloxy, (C₁-C₈-alkyl)mercapto, NH₂, (C₁-C₈-alkyl)amino, and di(C₁-C₈-alkyl)amino; C₃- C_5 -alkandiyl; phenyl; heteroaryl; aryl-substituted C_1 - C_4 -alkyl; heteroaryl -substituted C_1 - C_4 -alkyl; CF₃; NO₂; OH; phenoxy; benzyloxy; (C₁-C₁₀-alkyl)COO; S(O)_mR²⁰; SH; phenylamino; benzylamino; (C₁-C₁₀-alkyl)-CONH-; (C₁-C₁₀-alkyl)-CON(C₁-C₄-alkyl)-; phenyl-CONH-; phenyl-CON(C_1 - C_4 -alkyl)-; heteroaryl-CONH-; heteroaryl-CON(C_1 - C_4 -alkyl)-; (C_1 - C_{10} -alkyl)-CO; phenyl-CO; heteroaryl-CO; CF₃-CO; -OCH₂O-; -OCF₂O-; -OCH₂CH₂O-; -CH₂CH₂O-; $COOR^{21}$; $CONR^{22}R^{23}$; $CNH(NH_2)$; $SO_2NR^{24}R^{25}$; $R^{26}SO_2NH_1$; $R^{27}SO_2N(C_1-C_6-alkyl)_1$; and saturated and at least monounsaturated aliphatic, mononuclear 5- to 7-membered heterocycles containing 1 to 3 heteroatoms chosen from N, O, and S, which heterocycles can be substituted by one or more substituents chosen from halogens, C₁-C₃-alkyl, C₁-C₃-alkoxy, OH, oxo and CF₃, and wherein said heterocycles can optionally be condensed to said group Ar or said group Hetar; and wherein all aryl, heteroaryl, phenyl, aryl-containing, heteroaryl-containing and phenyl-containing groups, which are optionally present in said substituents of said group Ar or said group Hetar, can be substituted by one or more substituents chosen from halogens, pseudohalogens, C₁-C₃-alkyl, OH, C1-C3-alkoxy, and CF3;

R⁶ is chosen from:

Page 50 of 70 Docket No: DEAV2001/0005 US NP H; C_1 - C_{10} -alkyl, which can be substituted by one or more substituents chosen from F, C_1 - C_8 -alkoxy, and di(C_1 - C_8 -alkyl)amino; aryl-(C_1 - C_4 -alkyl) and heteroaryl-(C_1 - C_4 -alkyl), which can be substituted by one or more substituents chosen from halogens, C_1 - C_4 -alkoxy, and di(C_1 - C_6 -alkyl)amino;

R⁷ is chosen from:

H; C_1 - C_{10} -alkyl which can be substituted by one or more substituents chosen from F, C_1 - C_8 -alkoxy, $di(C_1$ - C_8 -alkyl)amino and phenyl; phenyl; indanyl; and heteroaryl; and wherein each of the aforementioned aromatic groups can be unsubstituted or carry one or more substituents chosen from halogens, pseudohalogens, C_1 - C_3 -alkyl, C_1 - C_3 -alkoxy and CF_3 ;

R⁸ is H or C₁-C₁₀-alkyl;

 R^9 is chosen from: C_1 - C_{10} -alkyl which can be unsubstituted or carry one or more substituents chosen from: F, $(C_1$ - C_4)-alkoxy, $di(C_1$ - C_3 -alkyl)amino; and unsubstituted and at least monosubstituted phenyl and heteroaryl, the substituents of which are chosen from C_1 - C_3 -alkyl, C_1 - C_3 -alkoxy, halogens, pseudohalogens, and CF_3 ;

R¹⁰ independently has the same meaning as R⁷;

R¹¹ independently has the same meaning as R³;

 R^{12} independently has the same meaning as R^6 ;

R¹³ is chosen from: H; C₁-C₆-alkyl; unsubstituted and substituted phenyl, benzyl, heteroaryl, (C₁-C₆-alkyl)-CO, phenyl-CO, and heteroaryl-CO, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₂-alkoxy, and CF₃, and wherein one or more of these substituents can be present;

R¹⁴ independently has the same meaning as R¹³;

R¹⁵ is chosen from: H; C₁-C₁₀-alkyl; (C₁-C₃-alkoxy)-C₁-C₃-alkyl; and substituted and unsubstituted benzyl, phenyl and heteroaryl, the substituents of which are chosen from halogens,

pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy, and CF₃, and wherein one or more of these substituents can be present;

R¹⁶ is chosen from: C₁-C₁₀-alkyl which can be substituted by one or more substituents chosen from F, OH, C₁-C₈-alkoxy, aryloxy, (C₁-C₈-alkyl)mercapto, (C₁-C₈-alkyl)amino and di(C₁-C₈-alkyl)amino; CF₃; and substituted and unsubstituted phenyl and heteroaryl, the substituents of which are chosen from halogens, pseudohalogens, C₁-C₃-alkyl, C₁-C₃-alkoxy and CF₃, and wherein one or more of these substitutents can be present;

R¹⁷ independently has the same meaning as R⁷;

R¹⁸ independently has the same meaning as R⁸;

R¹⁹ independently has the same meaning as R¹⁶;

R²⁰ independently has the same meaning as R¹⁶;

R²¹ independently has the same meaning as R⁶;

R²² independently has the same meaning as R⁷;

R²³ independently has the same meaning as R⁸;

R²⁴ independently has the same meaning as R⁷;

 R^{25} independently has the same meaning as R^8 ;

 R^{26} independently has the same meaning as R^{16} ;

 R^{27} independently has the same meaning as R^{16} ;

heteroaryl is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N, O, and S;

the group Hetar is a 5 to 10-membered, aromatic, mono- or bicyclic heterocycle containing one or more heteroatoms chosen from N, O, and S;

aryl is phenyl, naphth-1-yl or naphth-2-yl;

the group Ar is phenyl, naphth-1-yl or naphth-2-yl; and

m is 0, 1 or 2.

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